



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 198149

TO: Shailendra Kumar
Location: 5c03 / 5c18
Monday, August 14, 2006
Art Unit: 1621
Phone: 571-272-0640
Serial Number: 10 / 517518

From: Jan Delaval
Location: Biotech-Chem Library
Remsen 1a51
Phone: 571-272-2504

jan.delaval@uspto.gov

Search Notes

8-516

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: S. Kumar Examiner #: 69594 Date: 8/10/06Art Unit: 1621 Phone Number: 2-0640 Serial Number: 10/517,518Location (Bldg/Room#): REM (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

*****5C03*****

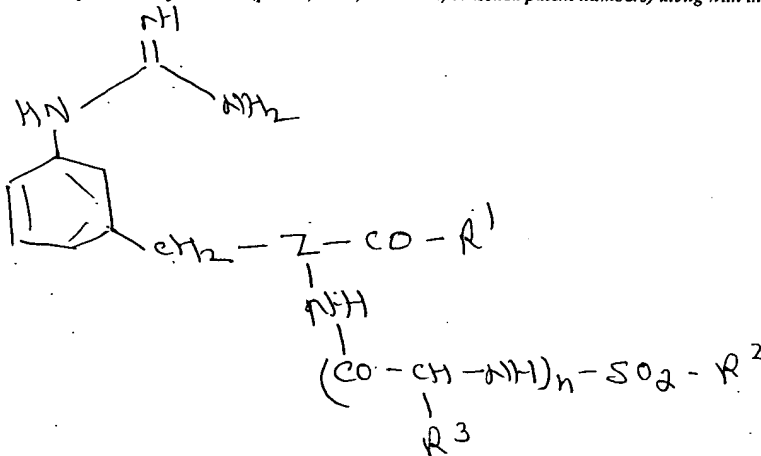
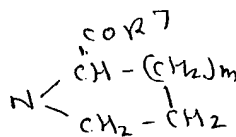
To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Guanidino phenylalanine compounds used as WrokinadeInventors (please provide full names): Stefan SpeerEarliest Priority Date: 6/11/02

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Z is N or CR⁹R¹ is OH, OR⁴, N<R⁵
R⁵etc. (a-m
groups)R² is opt. subd. phenylR³ is H or branched/unbranched alkyl, n is 0 or 1

STAFF USE ONLY

Searcher: JanSearcher Phone #: 22504

Searcher Location: _____

Date Searcher Picked Up: 8/14/06Date Completed: 8/14/06Searcher Prep & Review Time: 15Online Time: +25

Type of Search

____ NA Sequence (#)

____ AA Sequence (#)

☒ Structure (#)

____ Bibliographic

____ Litigation

____ Fulltext

____ Other

Vendors and cost where applicable

☒ STN _____ Dialog

____ Questel/Orbit _____ Lexis/Nexis

____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial _____ Oligomer _____ Score/Length
____ Interference _____ SPDI _____ Encode/Transl
____ Other (specify)

=> fil reg

FILE 'REGISTRY' ENTERED AT 07:24:38 ON 14 AUG 2006

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STRUCTURE FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5

DICTIONARY FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

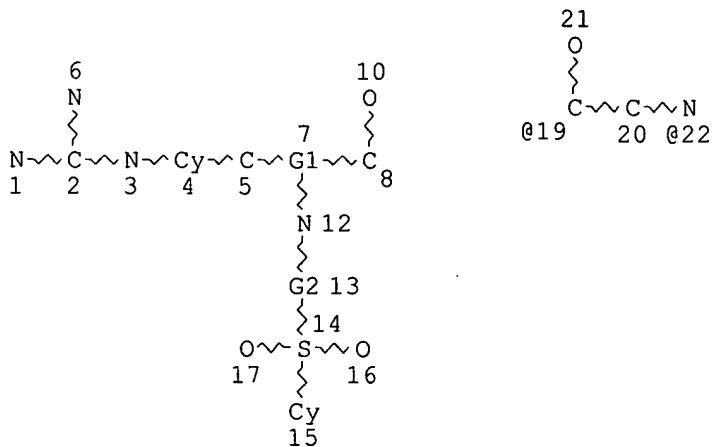
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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L7 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

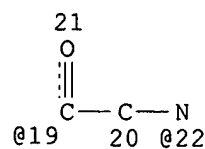
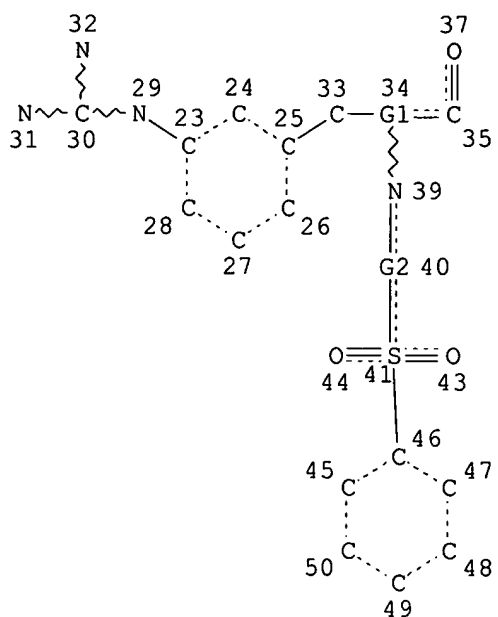
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NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L9 76 SEA FILE=REGISTRY SSS FUL L7

L12 STR



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STEREO ATTRIBUTES: NONE
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 E WILEX/PA,CS
 L3 38 S E3-E24
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FILE 'REGISTRY' ENTERED AT 07:24:38 ON 14 AUG 2006
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FILE 'HCAPLUS' ENTERED AT 07:24:49 ON 14 AUG 2006
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FILE COVERS 1907 - 14 Aug 2006 VOL 145 ISS 8
FILE LAST UPDATED: 13 Aug 2006 (20060813/ED)
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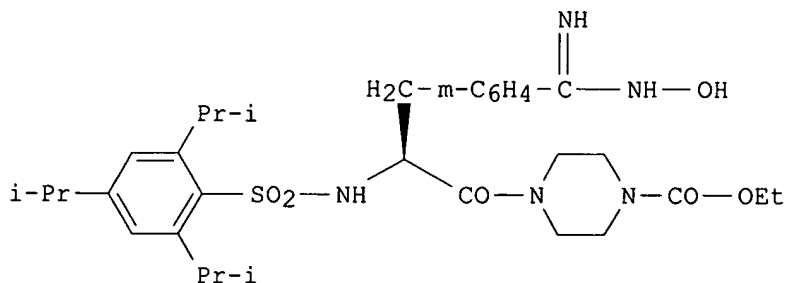
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L26 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
 AN 2006:632341 HCAPLUS
 DN 145:63149
 TI Synthesis of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivatives for use as urokinase plasminogen activator inhibitors for the treatment of cancer and its metastasis
 IN **Sperl, Stefan**; Buergle, Markus; Schmalix, Wolfgang; Wosikowski, Katja; Clement, Bernd
 PA **Wilex AG, Germany**
 SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of Appl. No. PCT/EP04/005682.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

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	WO 2004103984	A1	20041202	WO 2004-EP5682	20040526 <--
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	WO 2004-EP5682	A2	20040526		

GI



AB The invention relates to novel compds., e.g., I, and their physiol. suitable salts, for the inhibition of the urokinase plasminogen activator with high bioavailability and which can also be administered orally, and to their use as therapeutic active ingredients for the treatment of urokinase or/and urokinase receptor associated diseases such as tumors. Thus, I was prepared in five steps from 3-cyanobenzylbromide, di-Et (acetylamino)malonate, 2,4,6-triisopropylphenylsulfonyl chloride, and N-(ethoxycarbonyl)piperazine, with resolution of the racemic first intermediate using Acylase I to provide the L-phenylalanine derivative for subsequent sulfonylation, amidation, and hydroxyamidination reactions.

The physiol. acceptable hydrogen sulfate salt of I was also prepared In expts. using rat mammary adenocarcinoma BN472, I showed reduction of metastatic implantation at 1 mg/kg orally, compared with control group with no active ingredient.

IT 798560-67-5P

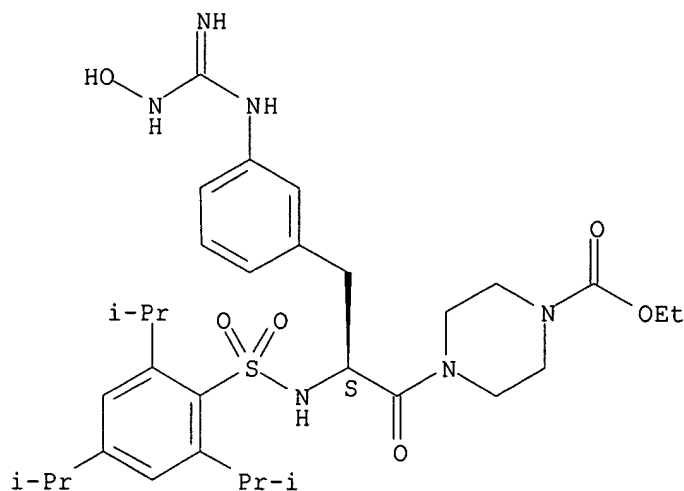
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(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-67-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 798560-71-1P 798560-72-2P 798560-73-3P
798560-74-4P 798560-75-5P 798560-82-4P
798560-83-5P 798560-84-6P 798560-85-7P
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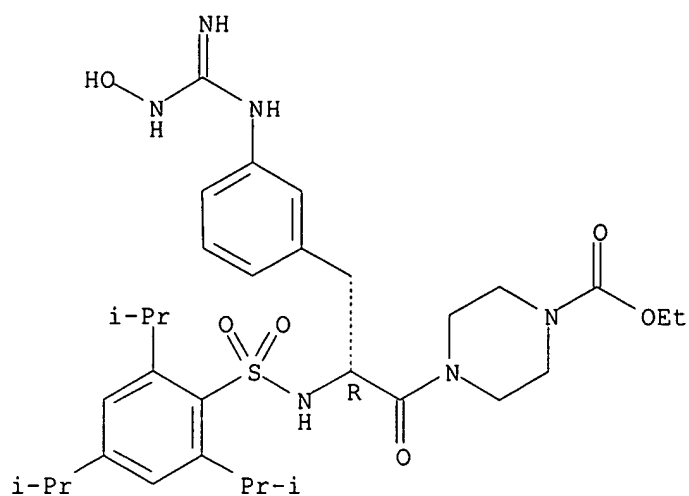
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-71-1 HCAPLUS

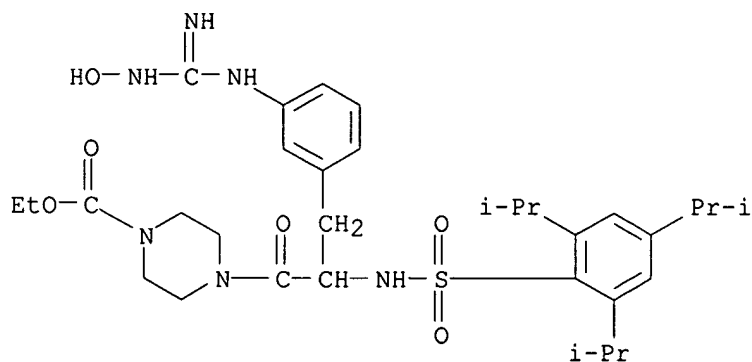
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Absolute stereochemistry.



RN 798560-72-2 HCAPLUS

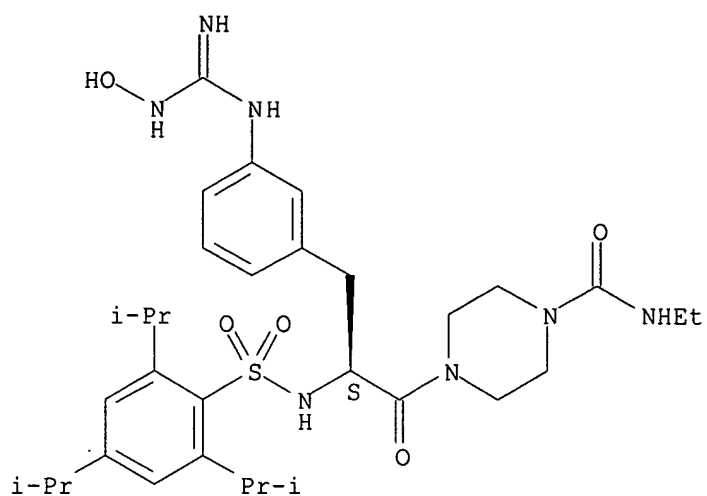
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 798560-73-3 HCAPLUS

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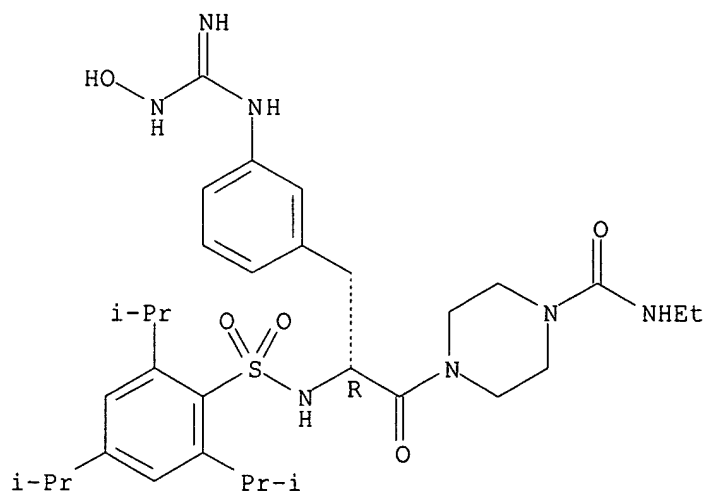
Absolute stereochemistry.



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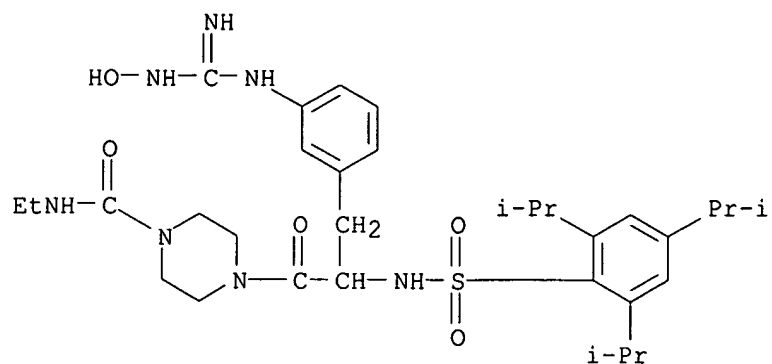
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Absolute stereochemistry.



RN 798560-75-5 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[[(hydroxyamino) iminomethyl] amino] phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)



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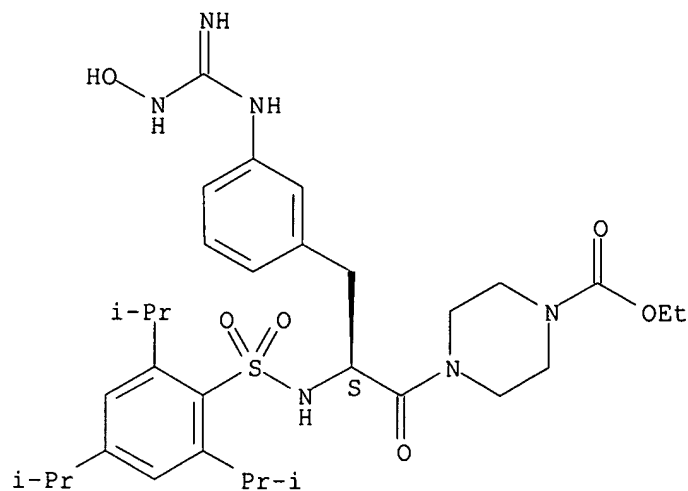
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CRN 798560-67-5

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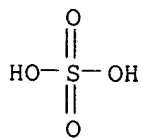
Absolute stereochemistry.



CM 2

CRN 7664-93-9

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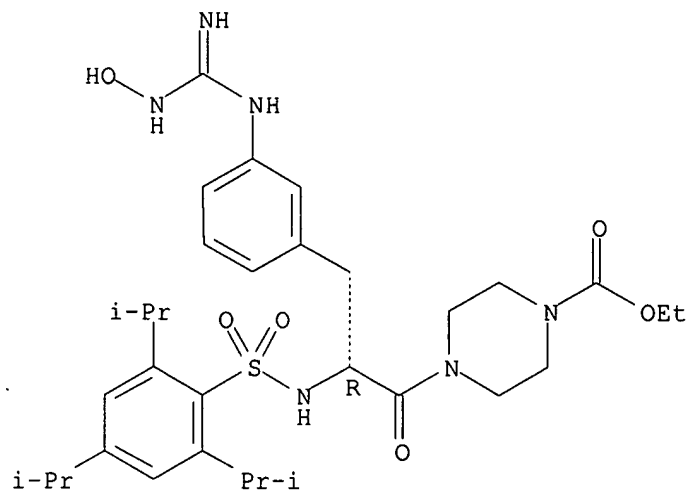


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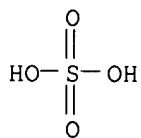
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Absolute stereochemistry.



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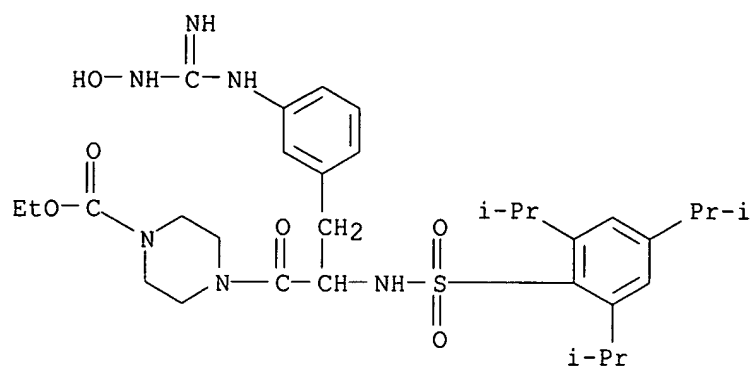
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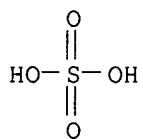
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CM 2

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CMF H2 O4 S



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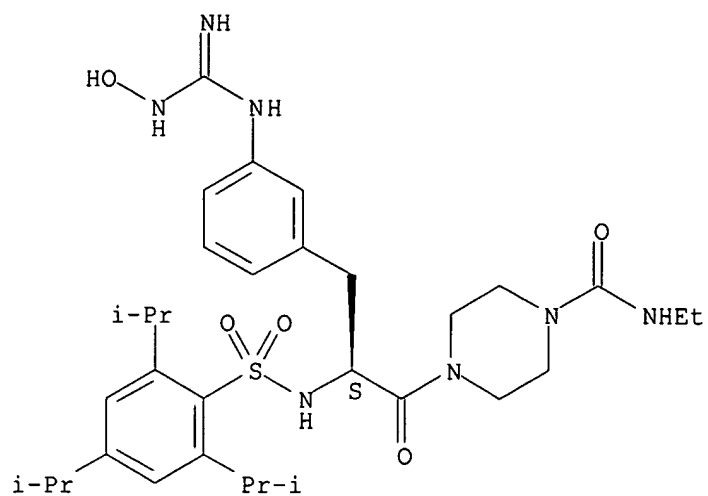
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CRN 798560-73-3

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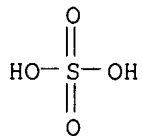
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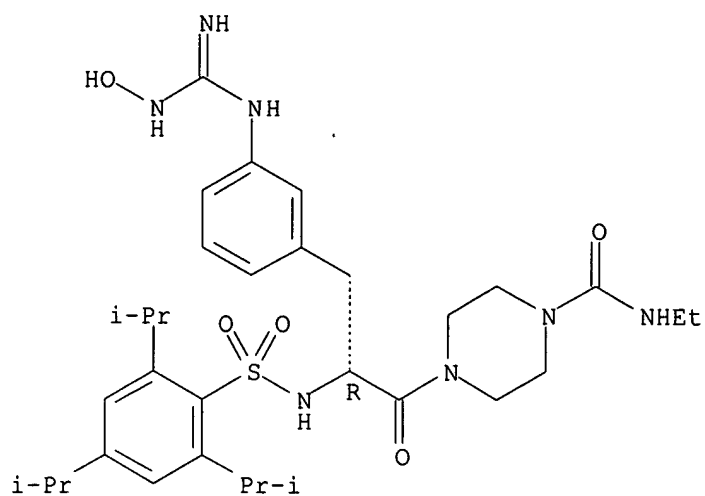
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
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 (CA INDEX NAME)

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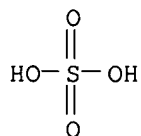
Absolute stereochemistry.



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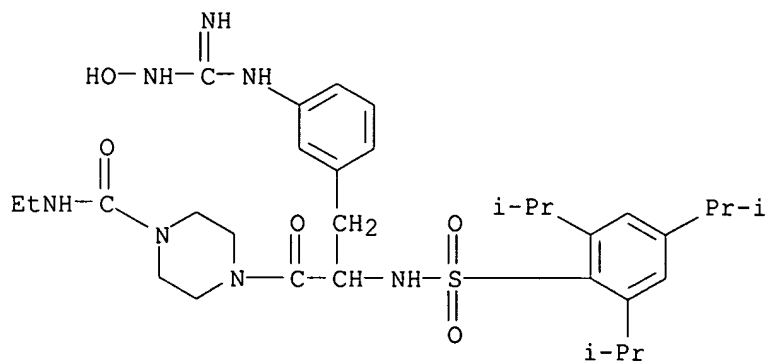
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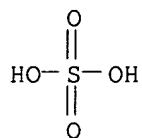
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CM 2

CRN 7664-93-9

CMF H2 04 S



L26 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:1037086 HCAPLUS

DN 142:6829

TI Synthesis of hydroxyamidine and hydroxyguanidine amino acid or
oligopeptide derivatives for use as urokinase plasminogen activator
inhibitors for the treatment of cancer and its metastasis

IN **Sperl, Stefan**; Burgle, Markus; Schmalix, Wolfgang; Wosikowski,
Katja; Clement, Bernd

PA **Wilex A.-G., Germany**

SO PCT Int. Appl., 48 pp.

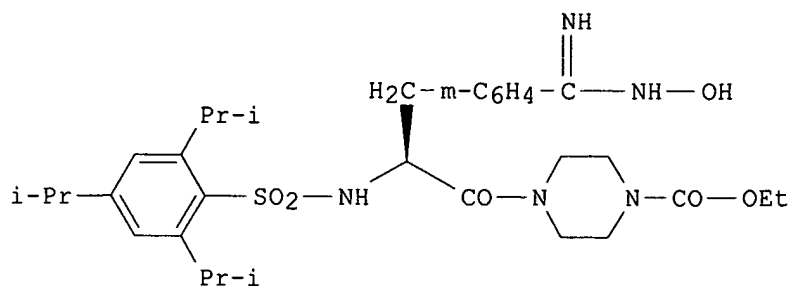
CODEN: PIXXD2

DT Patent

LA German

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	WO 2004-EP5682	W	20040526		
OS	MARPAT 142:6829				
GI					



AB The invention relates to novel compds., e.g. (I), and their physiol. suitable salts, for the inhibition of the urokinase plasminogen activator with high bioavailability and which can also be administered orally, and to the use thereof as therapeutic active ingredients for the treatment of urokinase or/and urokinase receptor associated diseases, such as tumors and metastization. Thus, I was prepared in five steps from 3-cyanobenzylbromide, di-Et (acetylamino)malonate, 2,4,6-triisopropylphenylsulfonyl chloride, and N-(ethoxycarbonyl)piperazine, with resolution of the racemic first intermediate using Acylase I to provide the L-phenylalanine derivative for subsequent sulfonylation, amidation, and hydroxyamidination reactions. The physiol. acceptable hydrogen sulfate salt of I was also prepared. In expts. using rat mammary adenocarcinoma BN47, I showed reduction of metastatic implantation at 1 mg/kg orally, compared with control group with no active ingredient.

IT **798560-67-5P**

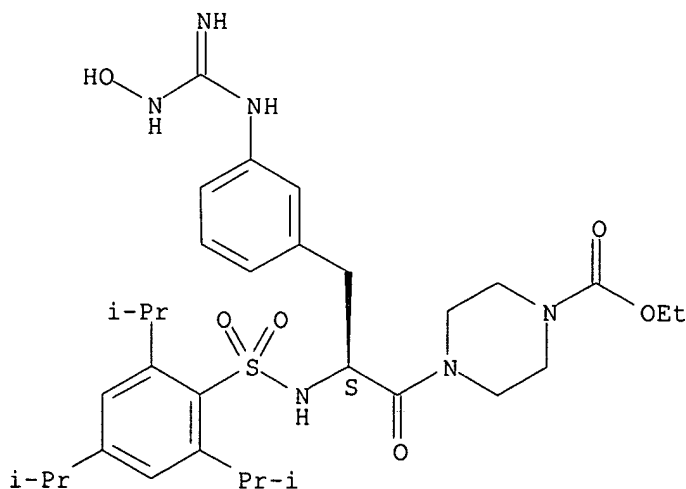
RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-67-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 798560-71-1P 798560-72-2P 798560-73-3P
 798560-74-4P 798560-75-5P 798560-82-4P
 798560-83-5P 798560-84-6P 798560-85-7P
 798560-86-8P 798560-87-9P

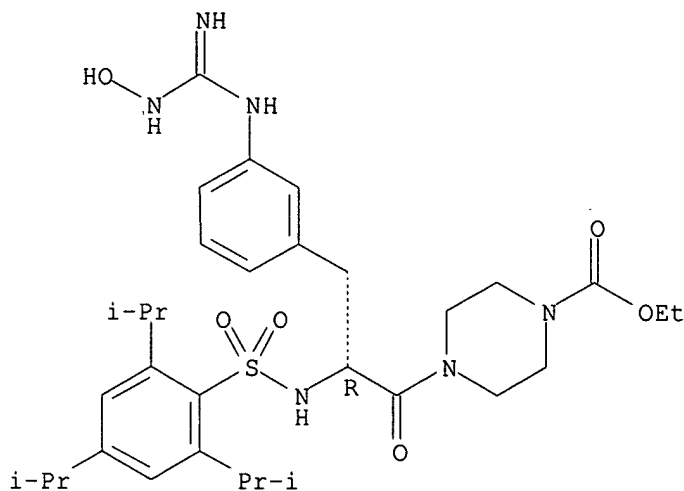
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-71-1 HCAPLUS

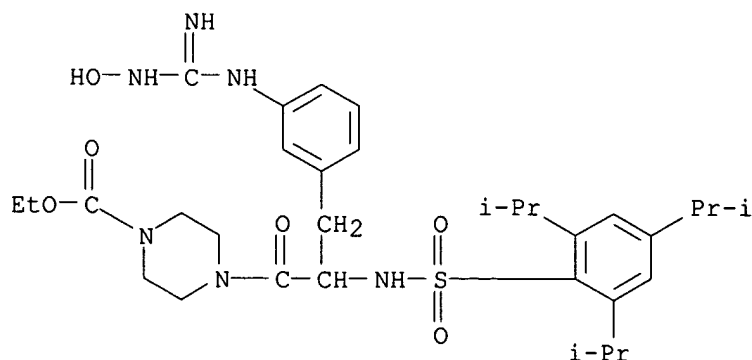
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-72-2 HCAPLUS

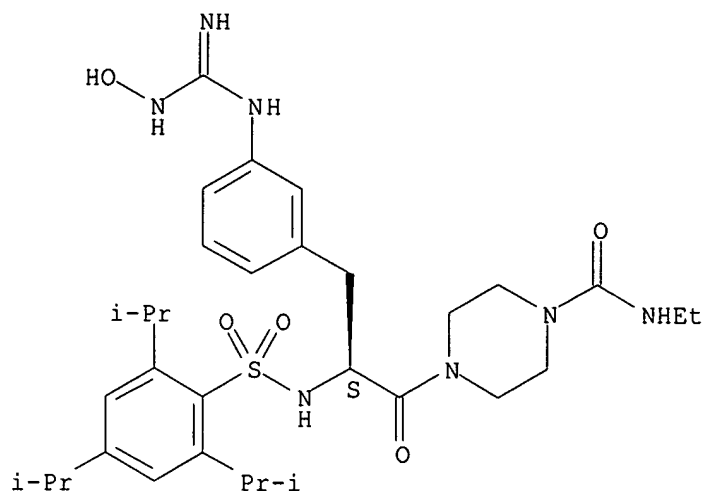
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 798560-73-3 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

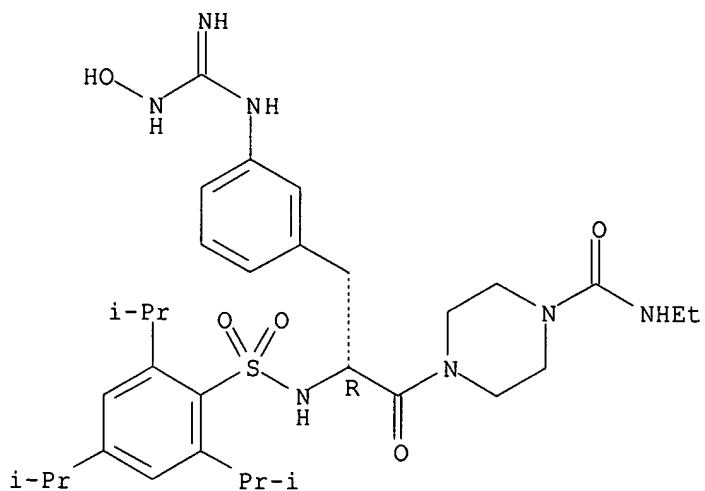
Absolute stereochemistry.



RN 798560-74-4 HCAPLUS

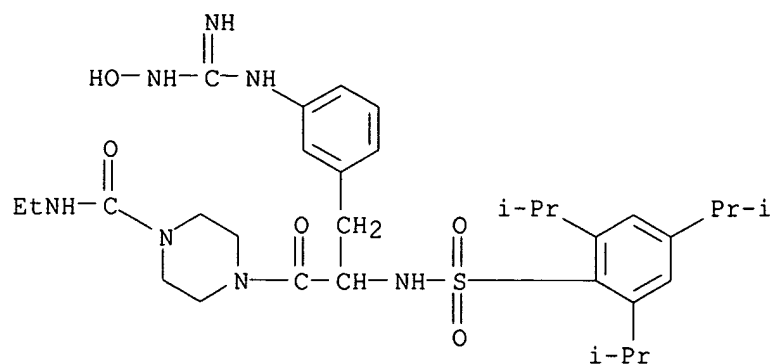
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-75-5 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)



RN 798560-82-4 HCAPLUS

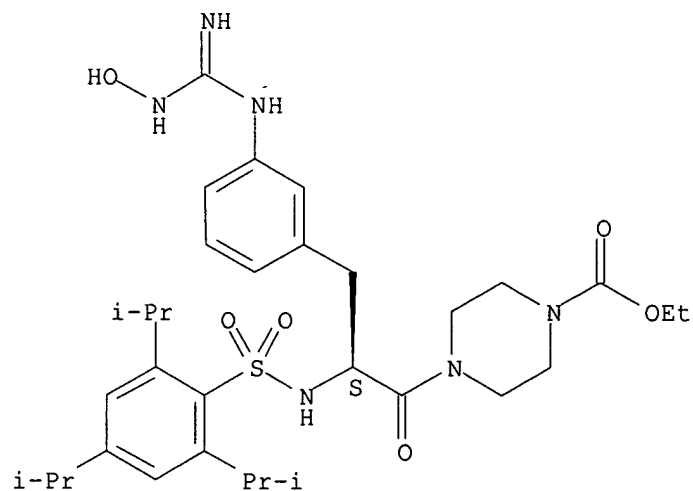
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-67-5

CMF C32 H48 N6 O6 S

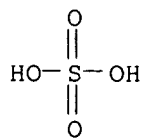
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S

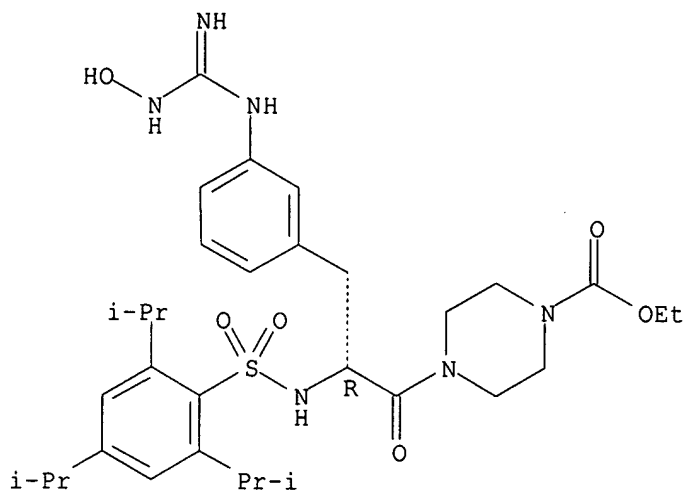


RN 798560-83-5 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

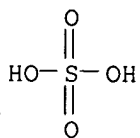
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 CMF C32 H48 N6 O6 S

Absolute stereochemistry.



CM 2

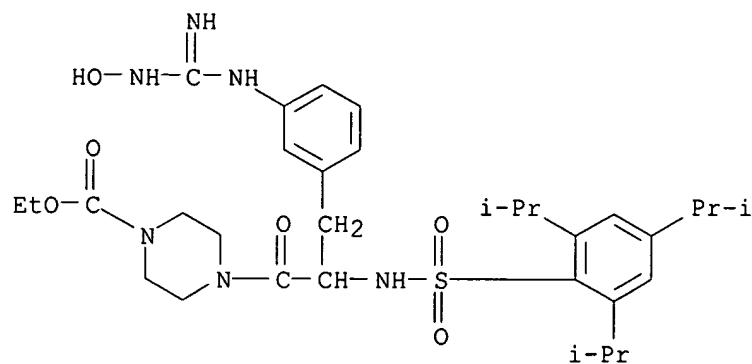
CRN 7664-93-9
 CMF H2 O4 S



RN 798560-84-6 HCAPLUS
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CM 1

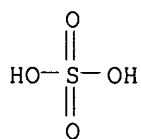
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 CMF C32 H48 N6 O6 S



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-85-7 HCAPLUS

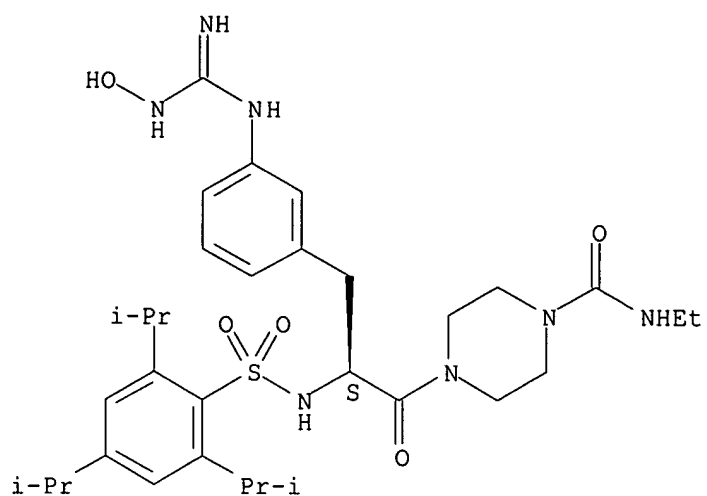
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-
 [[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
 methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 798560-73-3

CMF C32 H49 N7 O5 S

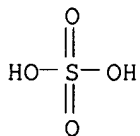
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-86-8 HCAPLUS

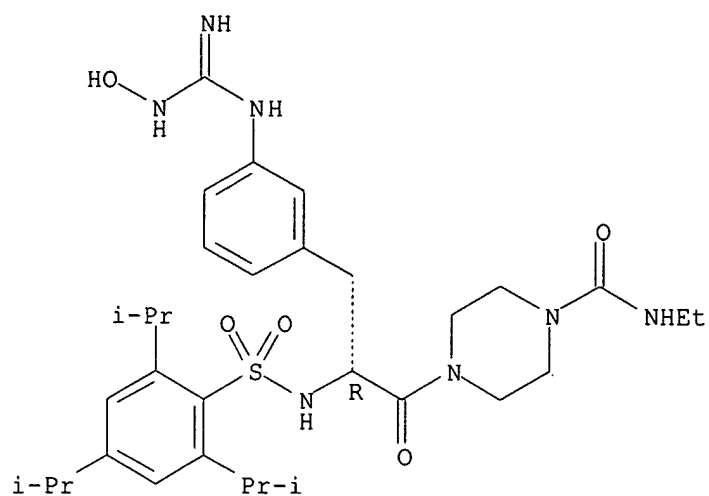
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
 [[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
 methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)
 (CA INDEX NAME)

CM 1

CRN 798560-74-4

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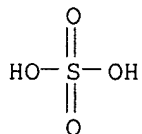
Absolute stereochemistry.



CM 2

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CMF H2 O4 S



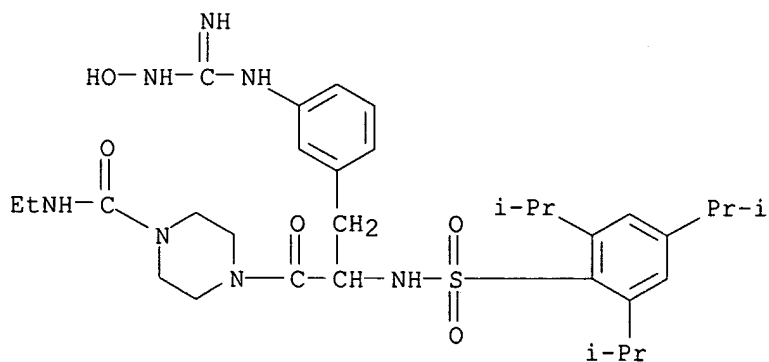
RN 798560-87-9 HCAPLUS

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[[(hydroxyamino) iminomethyl] amino
phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-
, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-75-5

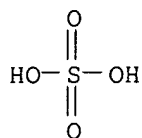
CMF C32 H49 N7 O5 S



CM 2

CRN 7664-93-9

CMF H2 04 S



RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Corvas Int Inc	2002			EP 1182207 A	HCAPLUS
Pentapharm Ag	2003			WO 03072559 A	HCAPLUS
Sturzebecher, J	1999	9	3147	BIOORGANIC & MEDICIN	HCAPLUS
Wosikowski-Buters, K	2004			WO 2004011449 A	HCAPLUS

L26 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:101151 HCAPLUS

DN 140:146510

TI Method for the production of phenylalanine derivatives

IN Wosikowski-Buters, Katja; Sperl, Stefan; Sommer, Joachim

PA **Wilex A.-G., Germany**

SO PCT Int. Appl., 16 pp.

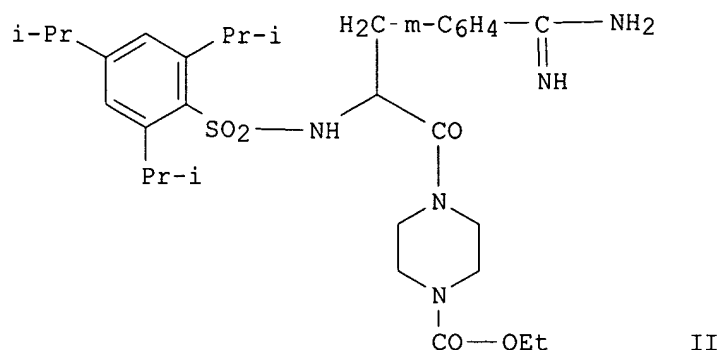
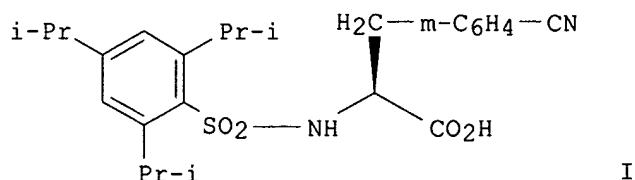
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 2

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	EP 1525195	A2	20050427	EP 2003-771103	20030725 <--
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OS	CASREACT 140:146510; MARPAT 140:146510				
GI					



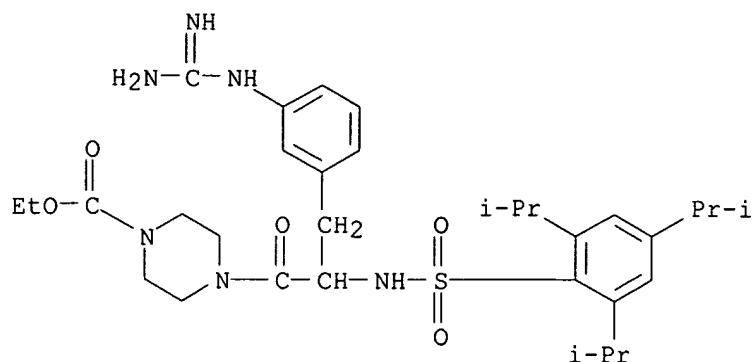
AB The invention relates to an improved method for the production of 3-amidino- or 3-guanidinophenylalanine derivs., especially triisopropylphenyl-sulfonyl-substituted 3-amidino- or 3-guanidinophenylalanine derivs. Preparation of intermediate (I) was given in an exptl. example, with elaboration of I to title product (II) given in two exptl. schemes which were discussed without yield data. To prepare I, 3-cyano-L-phenylalanine was first N-protected using trimethylsilyl chloride, and then reacted with 2,4,6-tris(1-methylethyl)-benzenesulfonyl chloride, giving I in a yield of 84%, with a purity of 80% as measured by HPLC.

IT **634599-12-5P 634599-14-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-substituted 3-amidino- or -guanidino-phenylalanine derivs.)

RN 634599-12-5 HCAPLUS

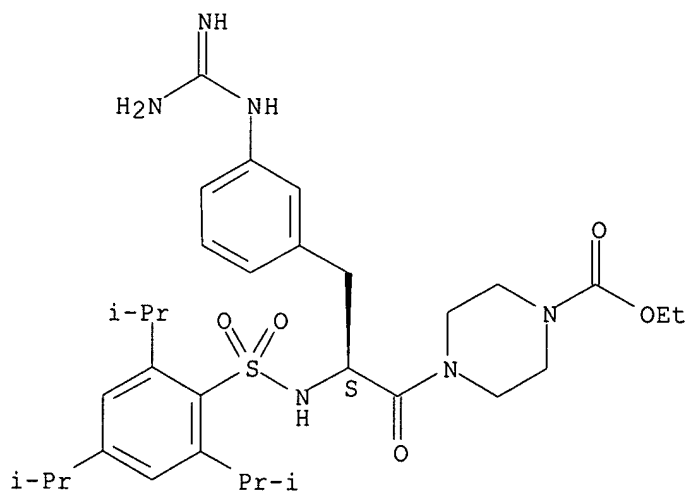
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:95354 HCAPLUS

DN 140:146509

TI Synthesis of N-substituted 3-amidino- or -guanidino- phenylalanine derivatives

IN Wosikowski-Buters, Katja; Sperl, Stefan

PA Willex A.-G., Germany

SO Ger. Offen., 9 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10238048	A1	20040205	DE 2002-10238048	20020820 <--
	WO 2004011449	A2	20040205	WO 2003-EP8230	20030725 <--
	WO 2004011449	A3	20040408		

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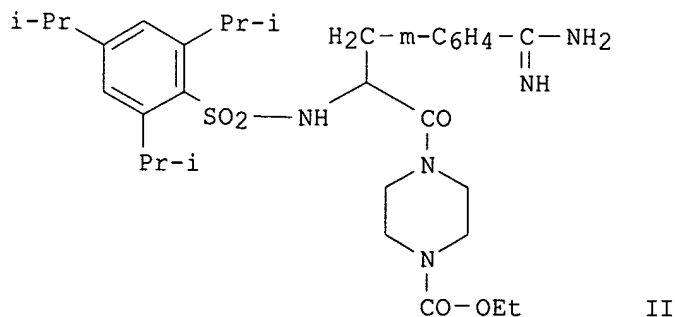
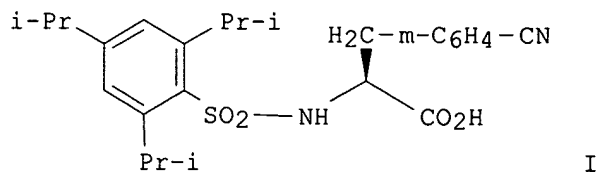
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AU 2003253326 A1 20040216 AU 2003-253326 20030725 <--
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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DE 2002-10238048 A 20020820 <--
WO 2003-EP8230 W 20030725 <--

OS CASREACT 140:146509; MARPAT 140:146509
GI



AB The invention relates to an improved method for the production of 3-amidino- or 3-guanidinophenylalanine derivs. Preparation of intermediate (I) was given in two exptl. example, with elaboration of I into title products [e.g., (II)] given in two exptl. schemes which were discussed without yield data. To prepare I, 3-cyano-L-phenylalanine was first N-protected using trimethylsilyl chloride, and then reacted with 2,4,6-tris(1-methylethyl)-benzenesulfonyl chloride, giving I in a yield of 84%, with a purity of 80% as measured by HPLC.

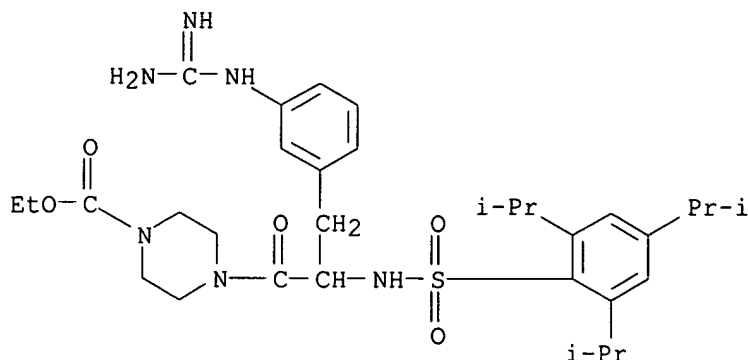
IT **634599-12-5P 634599-14-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-substituted 3-amidino- or -guanidino-phenylalanine derivs.)

RN 634599-12-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl

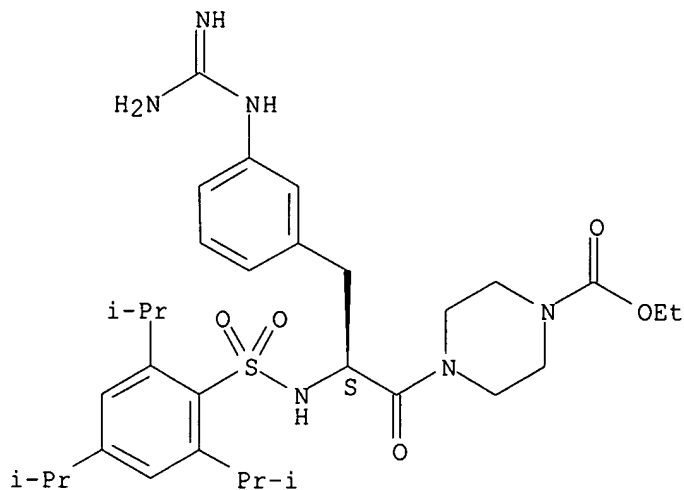
ester (9CI) (CA INDEX NAME)



RN 634599-14-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:95316 HCAPLUS

DN 140:151935

TI Liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivatives for use as urokinase inhibitors in cancer treatment

IN Wosikowski-Buters, Katja; Schmalix, Wolfgang

PA **Wilex A.-G., Germany**

SO Ger. Offen., 20 pp.

CODEN: GWXXBX

DT Patent

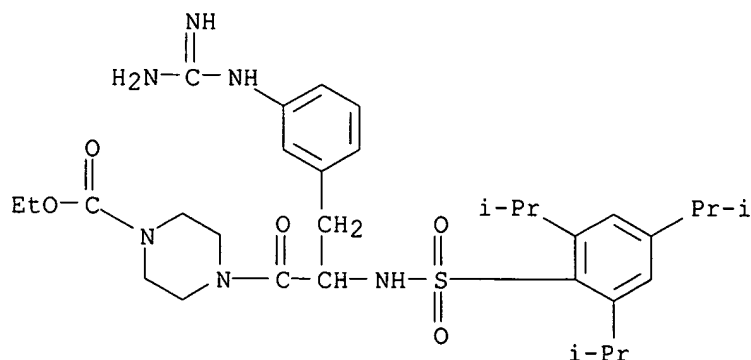
LA German

FAN.CNT 1

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jan delaval - 14 august 2006

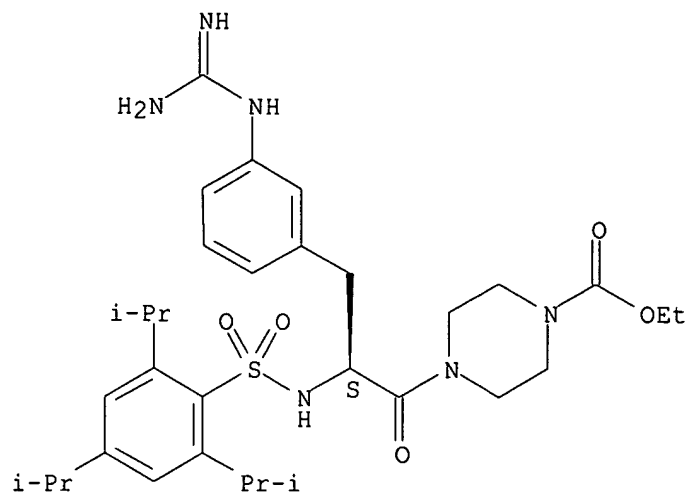
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US 2005181034 A1 20050818 US 2003-521805 20030722 <--
AT 312610 E 20051215 AT 2003-771071 20030722 <--
ES 2250918 T3 20060416 ES 2003-3771071 20030722 <--
PRAI DE 2002-10233632 A 20020724 <--
WO 2003-EP8011 W 20030722 <--
OS MARPAT 140:151935
AB The invention concerns liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs., especially WX-UK1, for use as urokinase inhibitors in tumor treatment. Phospholipid liposomes are prepared; formulations are injections for i.v., s.c., and i.m. administration. The liposomes can contain antifreeze agents. Thus a pH 6.5 formulation contained (%): WX-UK1 HCl 2.00; egg phosphatidylcholine 10.00; lactose 7.91; disodium hydrogen phosphate dihydrate 0.72; water to 100. Other formulations were prepared with addnl. DMPG-Na and at pH 8.4 as well. The bioavailability of the formulations were tested on rats.
IT 634599-12-5 634599-14-7 634599-18-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs. for use as urokinase inhibitors in cancer treatment)
RN 634599-12-5 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl

ester (9CI) (CA INDEX NAME)

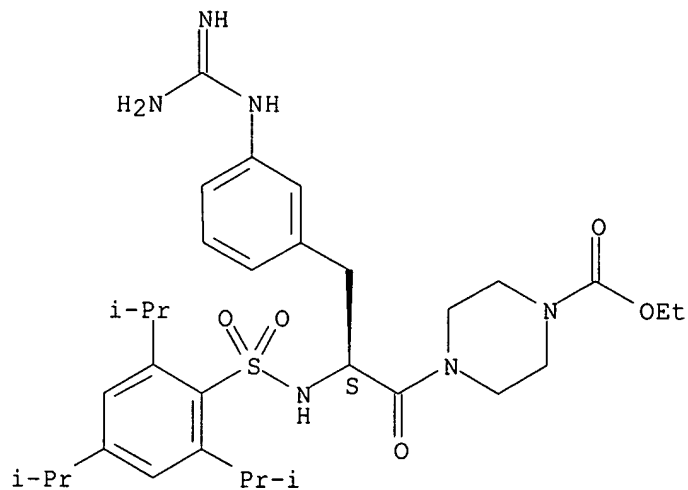
Absolute stereochemistry.



RN 634599-18-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L26 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:991327 HCAPLUS

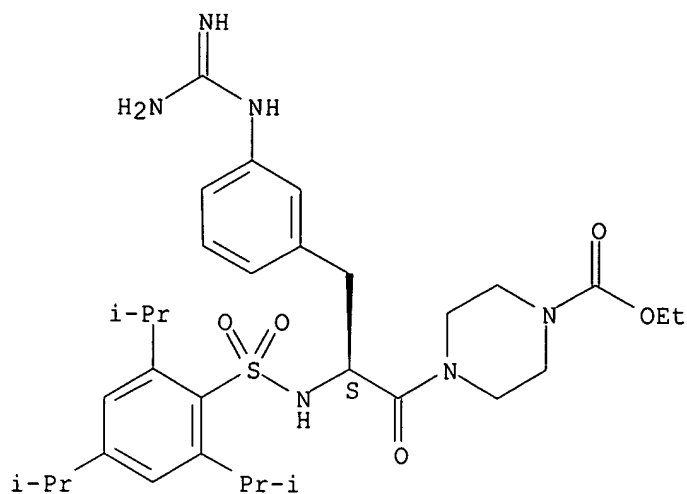
DN 140:23227

TI Guanidinophenylalanine compounds used as urokinase inhibitors and for the treatment of cancer

IN **Sperl, Stefan**
 PA **Wilex AG, Germany**
 SO PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003103644	A2	20031218	WO 2003-EP5918	20030605 <--
	WO 2003103644	A3	20040401		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE 10225876	A1	20031224	DE 2002-10225876	20020611 <--
	AU 2003236701	A1	20031222	AU 2003-236701	20030605 <--
	EP 1511721	A2	20050309	EP 2003-735558	20030605 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
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PRAI	DE 2002-10225876	A	20020611	<--	
	WO 2003-EP5918	W	20030605	<--	
OS	MARPAT 140:23227				
AB	The invention discloses the use of 3-guanidinophenylalanine derivs. as urokinase inhibitors for the treatment of malignant tumors and metastasis. Preparation of compds. is described.				
IT	634599-14-7P				
	RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)				
RN	634599-14-7 HCAPLUS				
CN	1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)				

Absolute stereochemistry.

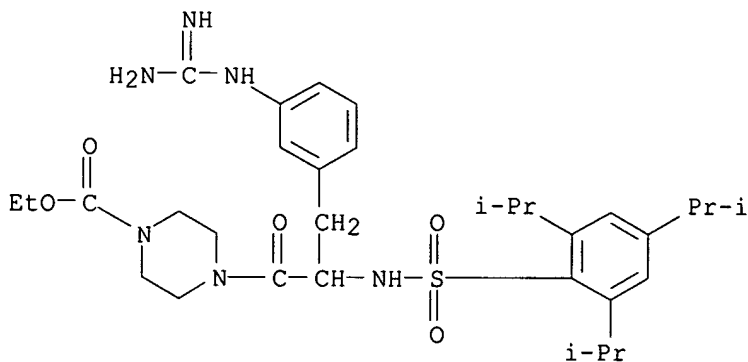


IT 634599-12-5 634599-13-6 634599-15-8
 634599-16-9 634599-17-0 634599-18-1
 634599-19-2

RL: DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

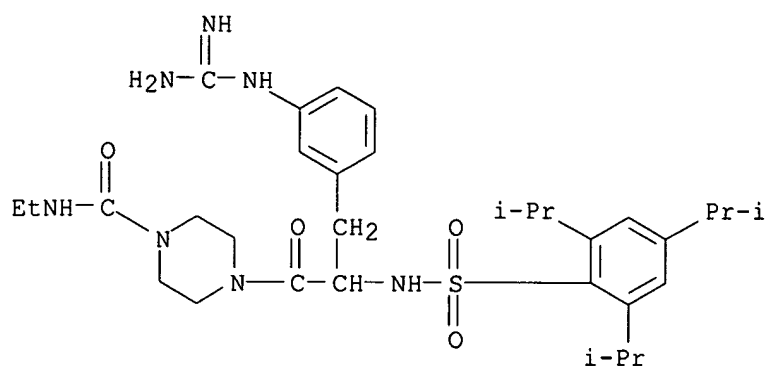
RN 634599-12-5 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-13-6 HCAPLUS

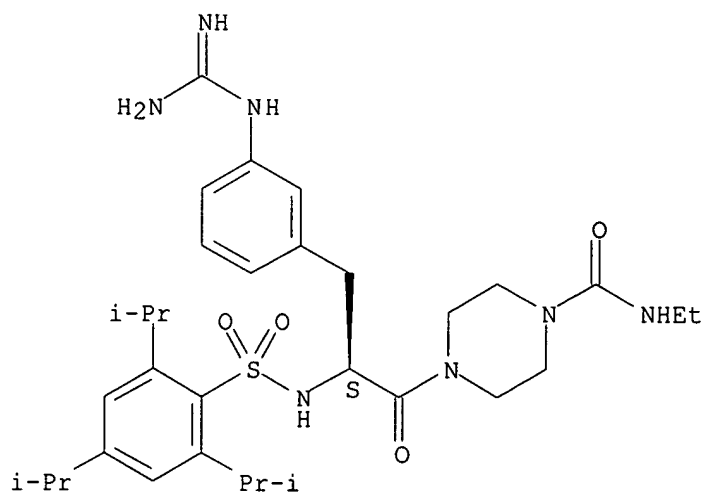
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 634599-15-8 HCAPLUS

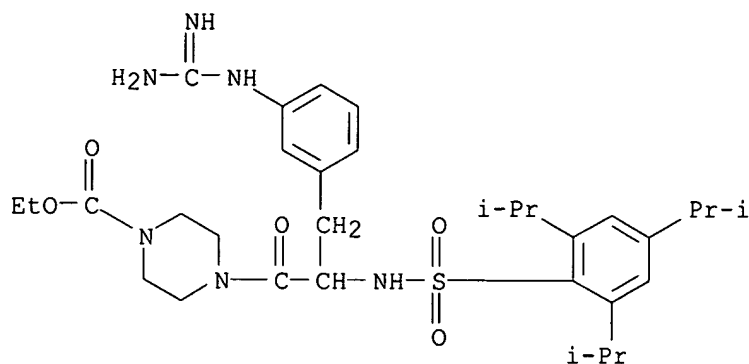
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



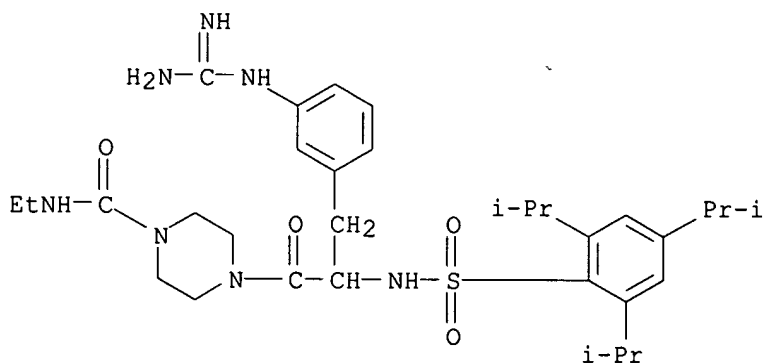
RN 634599-16-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

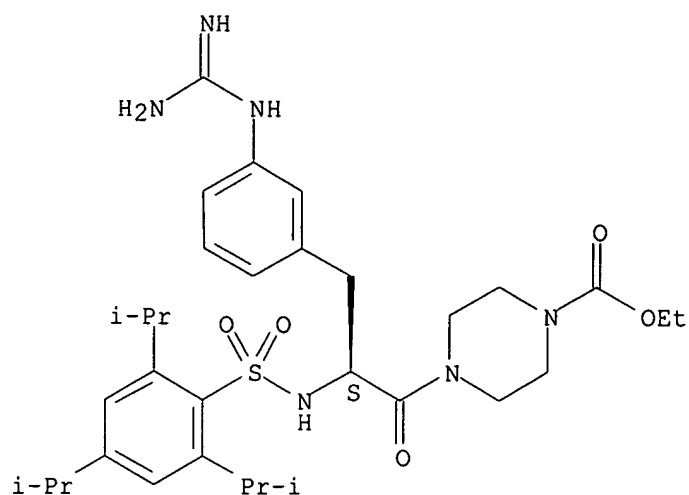
RN 634599-17-0 HCAPLUS
 CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634599-18-1 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

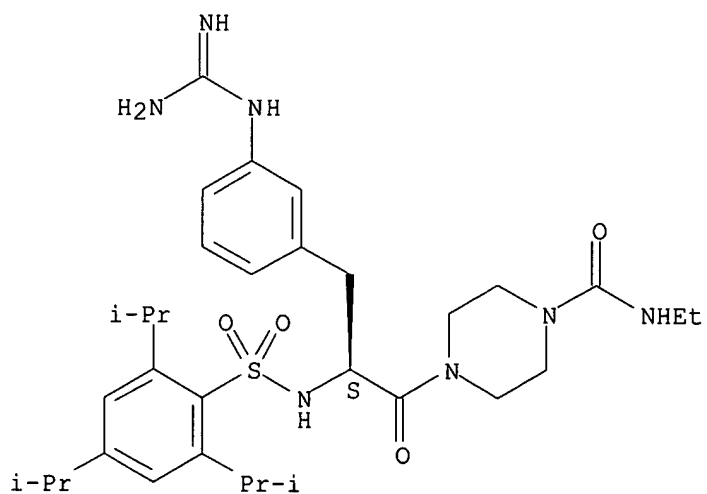


● HCl

RN 634599-19-2 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



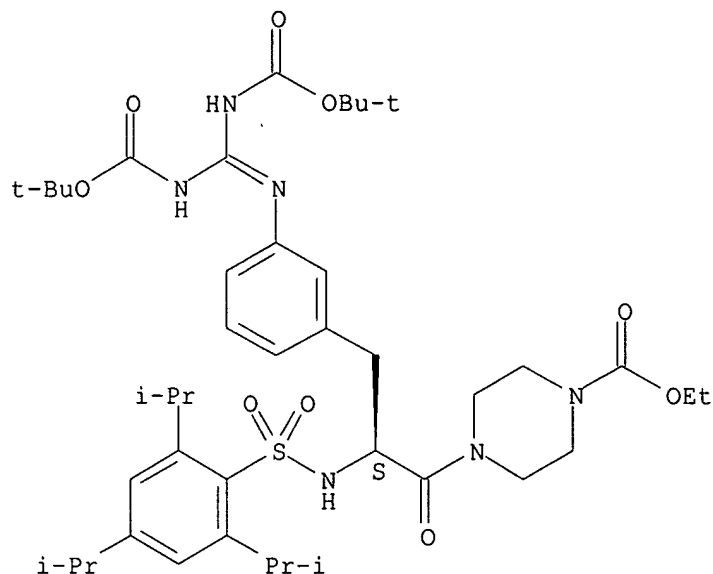
● HCl

IT 634599-23-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(guanidinophenylalanine derivs. for urokinase inhibitors and for

treatment of cancer)
 RN 634599-23-8 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[[[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> fil uspatful

FILE 'USPATFULL' ENTERED AT 07:25:07 ON 14 AUG 2006

CA INDEXING COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 10 Aug 2006 (20060810/PD)

FILE LAST UPDATED: 10 Aug 2006 (20060810/ED)

HIGHEST GRANTED PATENT NUMBER: US7089595

HIGHEST APPLICATION PUBLICATION NUMBER: US2006179536

CA INDEXING IS CURRENT THROUGH 8 Aug 2006 (20060808/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 10 Aug 2006 (20060810/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2006

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2006

=> d l33 bib abs hitstr tot

L33 ANSWER 1 OF 6 USPATFULL on STN

AN 2006:167794 USPATFULL

TI Hydroxyamidine and hydroxyguanidine compounds as urokinase inhibitors

IN **Sperl, Stefan**, Muenchen, GERMANY, FEDERAL REPUBLIC OF
 Buergle, Markus, Muenchen, GERMANY, FEDERAL REPUBLIC OF
 Schmalix, Wolfgang, Groebenzell, GERMANY, FEDERAL REPUBLIC OF
 Wosikowski, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF
 Clement, Bernd, Kiel, GERMANY, FEDERAL REPUBLIC OF

PA **WILEX AG**, Muenchen, GERMANY, FEDERAL REPUBLIC OF (non-U.S.
 corporation)

PI US 2006142305 A1 20060629

jan delaval - 14 august 2006

AI US 2005-287480 A1 20051128 (11)
 RLI Continuation-in-part of Ser. No. WO 2004-EP5682, filed on 26 May 2004,
 UNKNOWN
 PRAI DE 2003-10323898 20030526 <--
 DT Utility
 FS APPLICATION
 LREP ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800,
 WASHINGTON, DC, 20005, US
 CLMN Number of Claims: 21
 ECL Exemplary Claim: 1
 DRWN 10 Drawing Page(s)
 LN.CNT 1011

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel compounds for inhibiting the urokinase plasminogen activator (uPA), which have high bioavailability and oral administerability, and also to the use thereof as therapeutic active compounds for the treatment of urokinase- or/and urokinase receptor-associated disorders such as, for example, tumors and metastasizing. The invention relates in particular to compounds containing hydroxyamidine or hydroxyguanidine groups.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

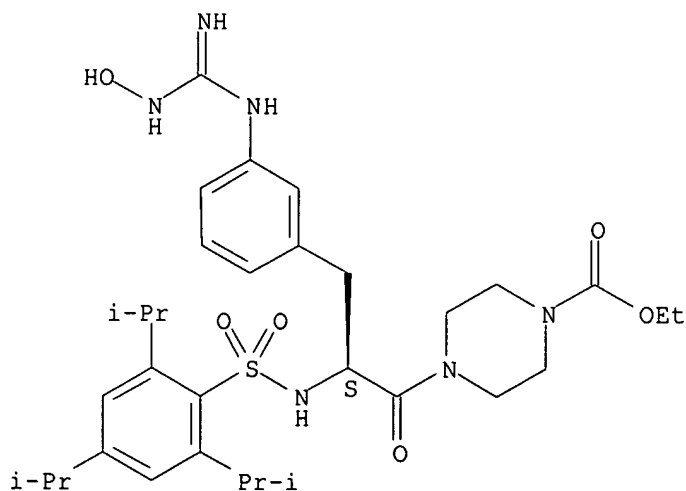
IT **798560-67-5P**

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-67-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[[(hydroxyamino)iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



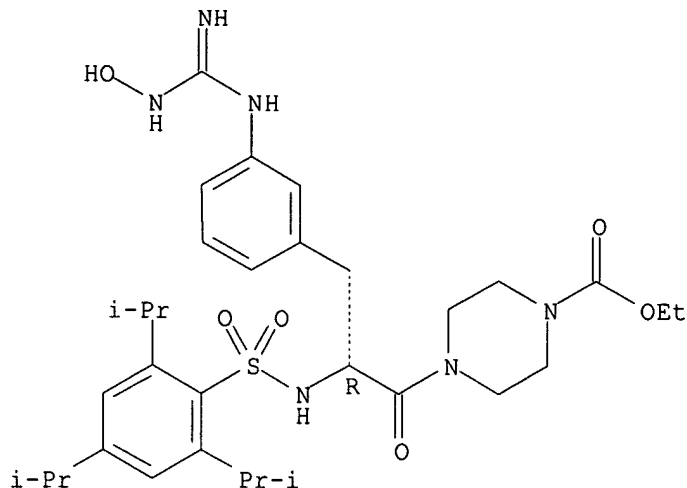
IT **798560-71-1P 798560-72-2P 798560-73-3P**
798560-74-4P 798560-75-5P 798560-82-4P
798560-83-5P 798560-84-6P 798560-85-7P
798560-86-8P 798560-87-9P

(preparation of hydroxyamidine and hydroxyguanidine amino acid or oligopeptide derivs. for use as urokinase plasminogen activator inhibitors for treatment of cancer and its metastasis)

RN 798560-71-1 USPATFULL

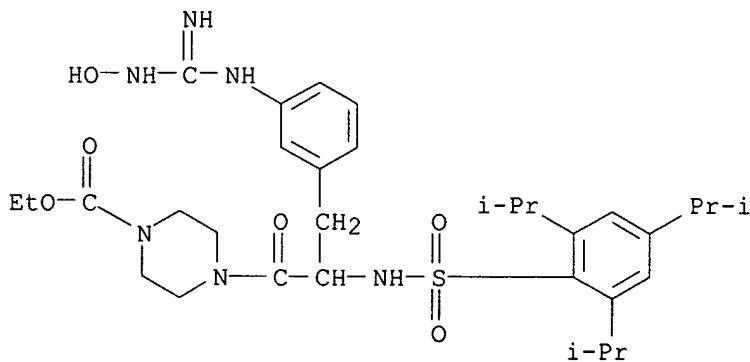
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-72-2 USPATFULL

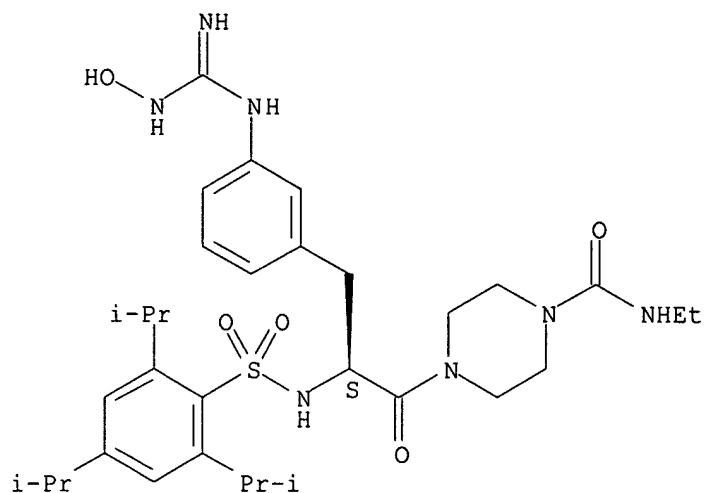
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 798560-73-3 USPATFULL

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

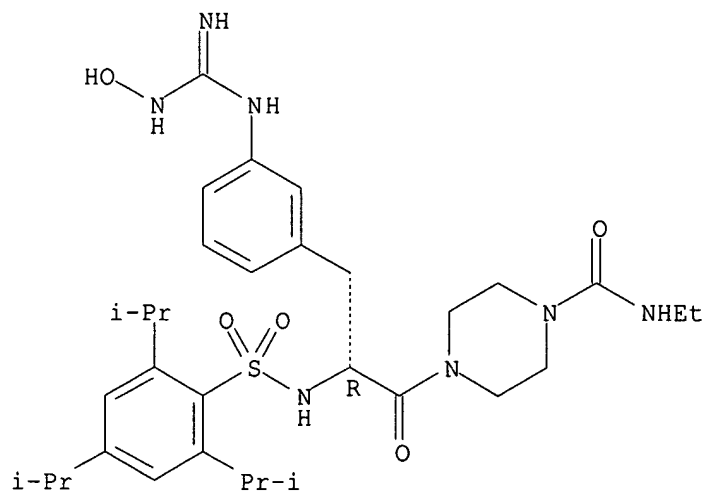
Absolute stereochemistry.



RN 798560-74-4 USPATFULL

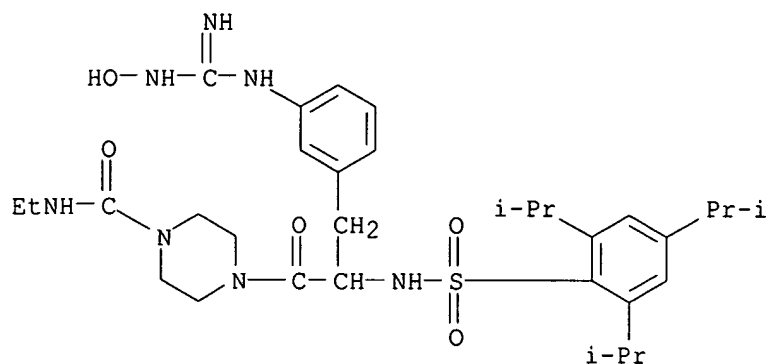
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
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methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 798560-75-5 USPATFULL

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[[(hydroxyamino) iminomethyl] amino
]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propy
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RN 798560-82-4 USPATFULL

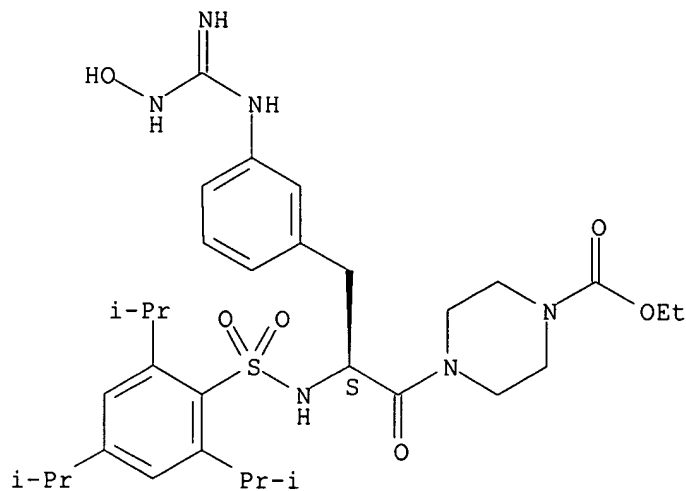
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[hydroxyamino]iminomethyl]aminophenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-67-5

CMF C32 H48 N6 O6 S

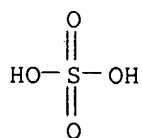
Absolute stereochemistry.



CM 2

CRN 7664-93-9

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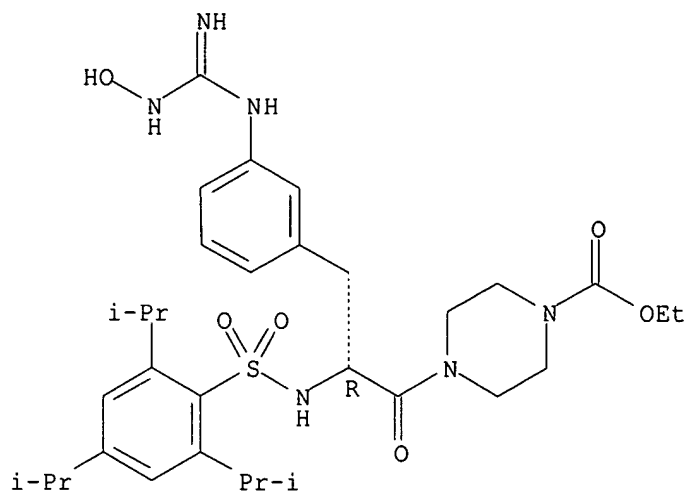


RN 798560-83-5 USPATFULL
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CM 1

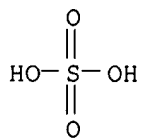
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 CMF C32 H48 N6 O6 S

Absolute stereochemistry.



CM 2

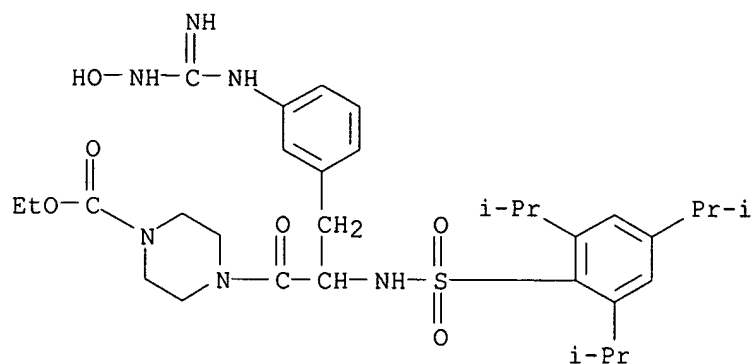
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 CMF H2 O4 S



RN 798560-84-6 USPATFULL
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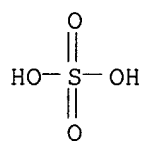
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CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-85-7 USPATFULL

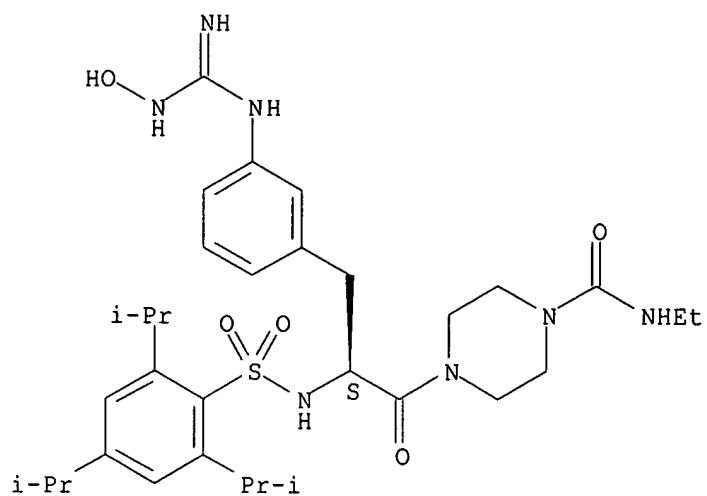
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-
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 (CA INDEX NAME)

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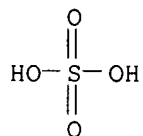
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 798560-86-8 USPATFULL

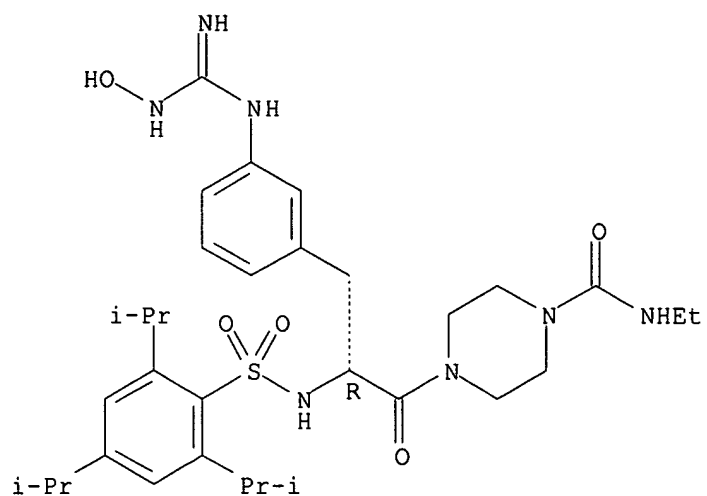
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
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 (CA INDEX NAME)

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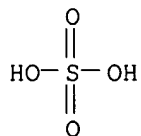
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



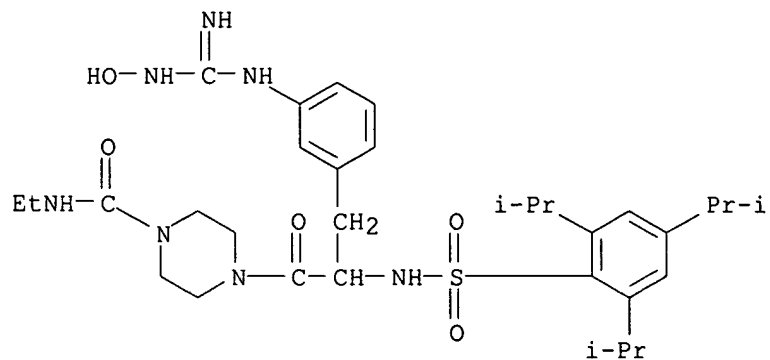
RN 798560-87-9 USPATFULL

CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798560-75-5

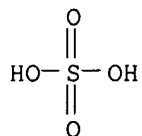
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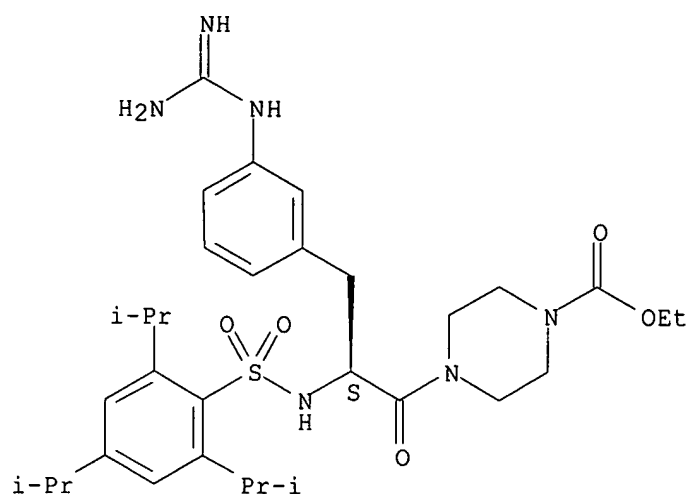
CM 2

CRN 7664-93-9

CMF H2 04 S



L33 ANSWER 2 OF 6 USPATFULL on STN
 AN 2005:306484 USPATFULL
 TI Guanidino phenylalanine compounds used as urokinase inhibitors
 IN **Sperl, Stefan**, Munchen, GERMANY, FEDERAL REPUBLIC OF
 PA **Wilex AG**, Muenchen, GERMANY, FEDERAL REPUBLIC OF, 81675
 (non-U.S. corporation)
 PI US 2005267127 A1 20051201
 AI US 2003-517518 A1 20030605 (10) <--
 WO 2003-EP5918 20030605
 20050701 PCT 371 date
 PRAI DE 2002-10225876 20020611 <--
 DT Utility
 FS APPLICATION
 LREP GLAXOSMITHKLINE, CORPORATE INTELLECTUAL PROPERTY, MAI B475, FIVE MOORE
 DR., PO BOX 13398, RESEARCH TRIANGLE PARK, NC, 27709-3398, US
 CLMN Number of Claims: 16
 ECL Exemplary Claim: 1
 DRWN 2 Drawing Page(s)
 LN.CNT 672
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB The invention relates to the use of derivatives of 3-
 guanidinophenylalanine as urokinase inhibitors for treating malignant
 tumors and metastasis.
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT **634599-14-7P**
 (guanidinophenylalanine derivs. for urokinase inhibitors and for
 treatment of cancer)
 RN 634599-14-7 USPATFULL
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-
 1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-,
 ethyl ester (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

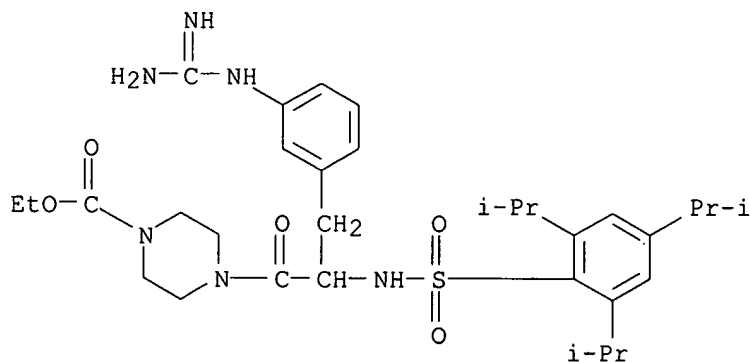


IT 634599-12-5 634599-13-6 634599-15-8
 634599-16-9 634599-17-0 634599-18-1
 634599-19-2

(guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

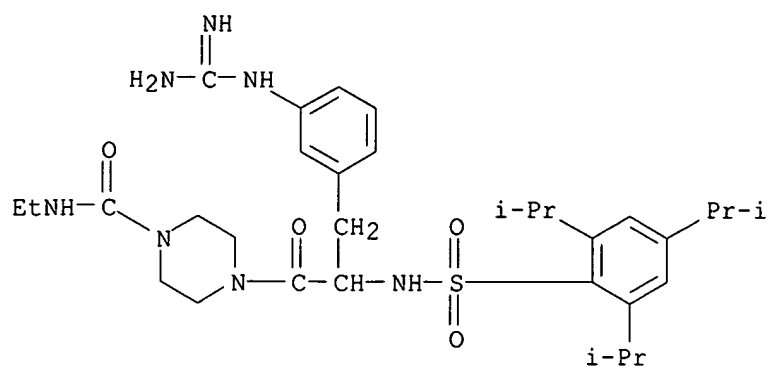
RN 634599-12-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-13-6 USPATFULL

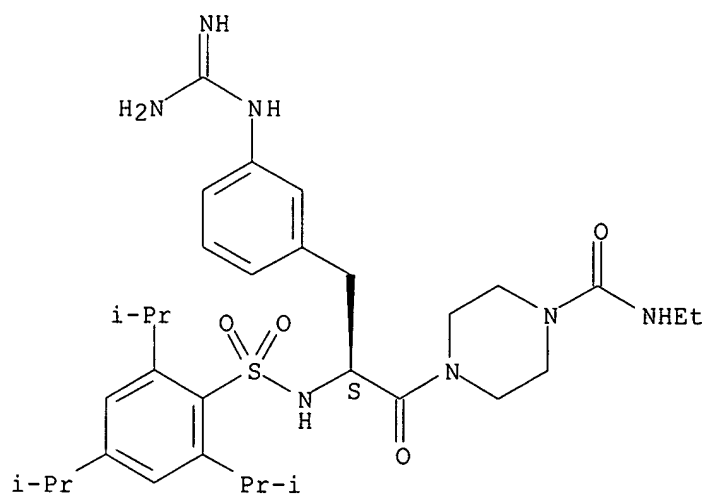
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 634599-15-8 USPATFULL

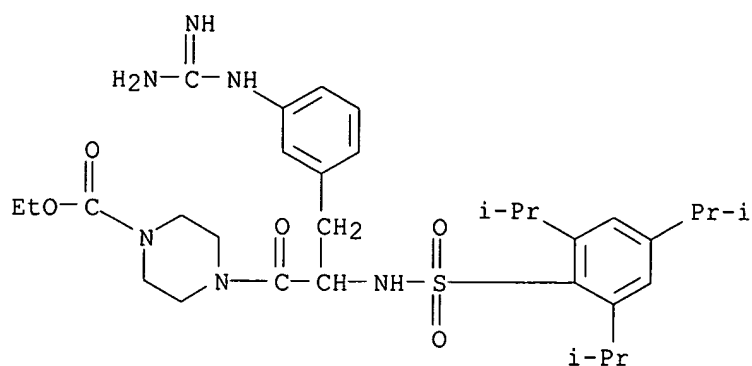
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



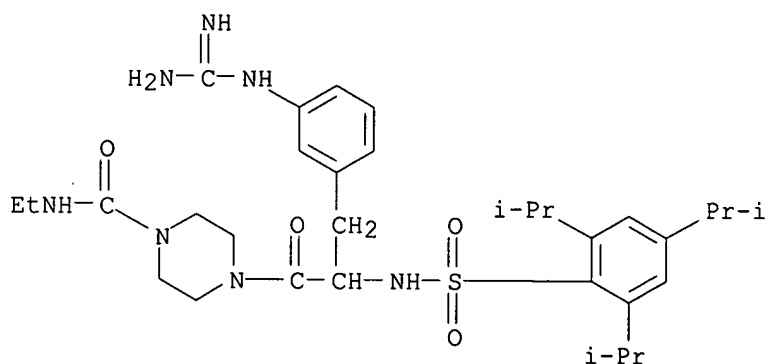
RN 634599-16-9 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

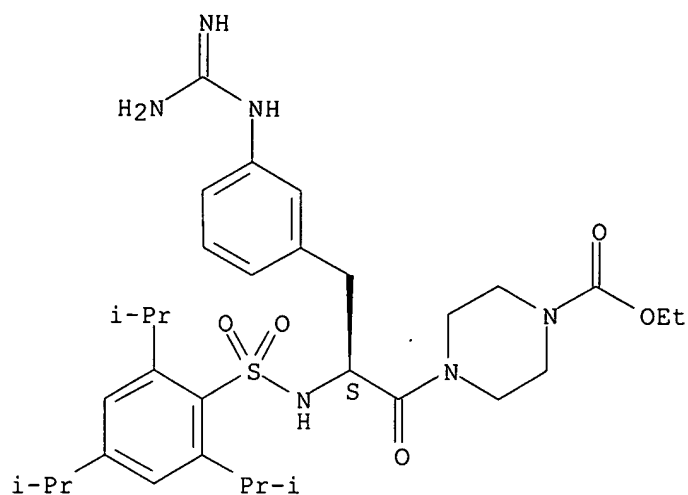
RN 634599-17-0 USPATFULL
 CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 634599-18-1 USPATFULL
 CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

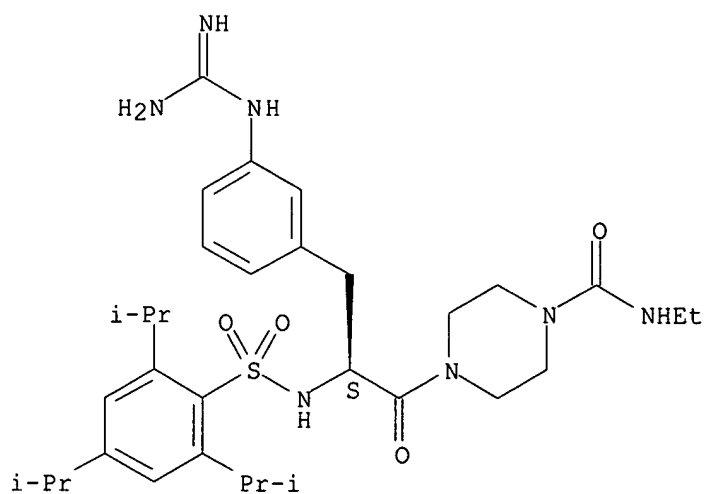


● HCl

RN 634599-19-2 USPATFULL

CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

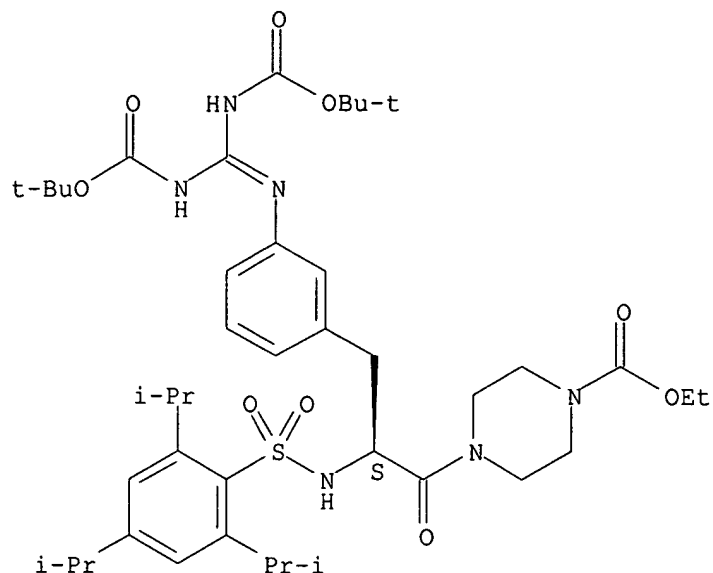
IT 634599-23-8P

(guanidinophenylalanine derivs. for urokinase inhibitors and for treatment of cancer)

RN 634599-23-8 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 3 OF 6 USPATFULL on STN

AN 2005:281801 USPATFULL

TI Method for the production of phenylalanine derivatives

IN Wosikowski-Buters, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF

Sperl, Stefan, Munchen, GERMANY, FEDERAL REPUBLIC OF

Sommer, Joachim, Wolfersheim, GERMANY, FEDERAL REPUBLIC OF

PI US 2005245757 A1 20051103

AI US 2003-522218 A1 20030725 (10) <--

WO 2003-EP8230 20030725

20050124 PCT 371 date

DT Utility

FS APPLICATION

LREP Michael Zaronias, Cook Alex McFarron Manzo Cummings & Mehler, Suite
2850, 200 West Adams, Chicago, IL, 60606, US

CLMN Number of Claims: 5

ECL Exemplary Claim: 1-5

DRWN 4 Drawing Page(s)

LN.CNT 252

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to an improved method for the production of
3-amidino- or 3-guanidinophenylalanine derivatives, especially
triisopropylphenyl-sulfonyl-substituted 3-amidino- or
3-guanidinophenylalanine derivatives.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

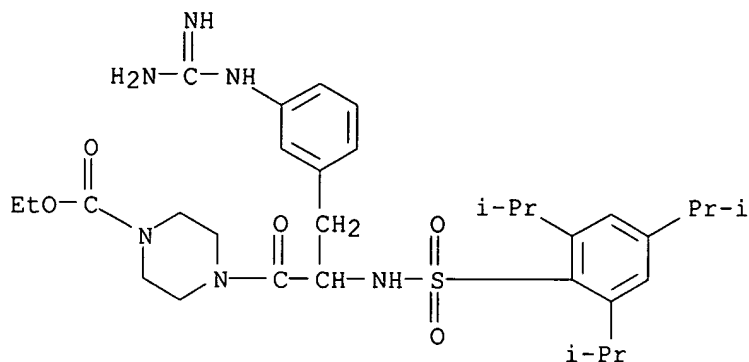
IT **634599-12-5P 634599-14-7P**

(preparation of N-substituted 3-amidino- or -guanidino-phenylalanine
derivs.)

RN 634599-12-5 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-

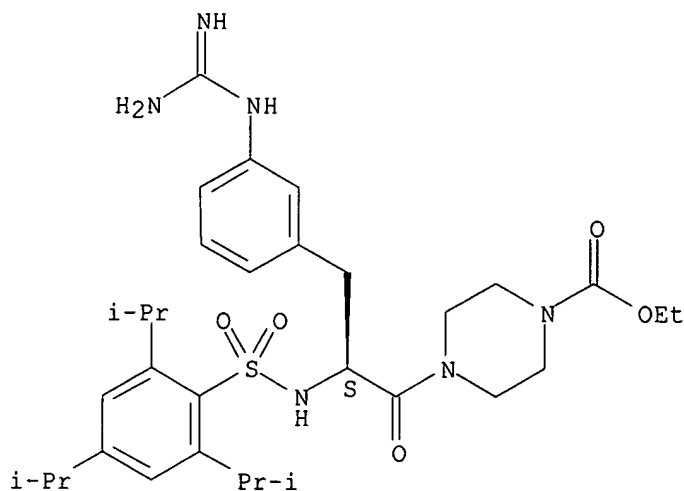
oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L33 ANSWER 4 OF 6 USPATFULL on STN

AN 2005:208556 USPATFULL

TI Formulation of liposomal derivatives of phenylalanine

IN Wosikowski-Buters, Katja, Poing, GERMANY, FEDERAL REPUBLIC OF
Schmalix, Wolfgang, Grobenzell, GERMANY, FEDERAL REPUBLIC OF

PA **WILEX AG**, Munchen, GERMANY, FEDERAL REPUBLIC OF (non-U.S.
corporation)

PI US 2005181034 A1 20050818

AI US 2003-521805 A1 20030722 (10) <--

WO 2003-EP8011 20030722

PRAI DE 2002-10233632 20020724 <--

DT Utility

FS APPLICATION

LREP ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800,

WASHINGTON, DC, 20005, US

CLMN Number of Claims: 27

ECL Exemplary Claim: 1-27

DRWN 5 Drawing Page(s)

LN.CNT 746

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to pharmaceutical formulations of phenylalanine derivatives and to the use thereof as urokinase inhibitors, in particular for the treatment of malignant tumors and of tumor metastases.

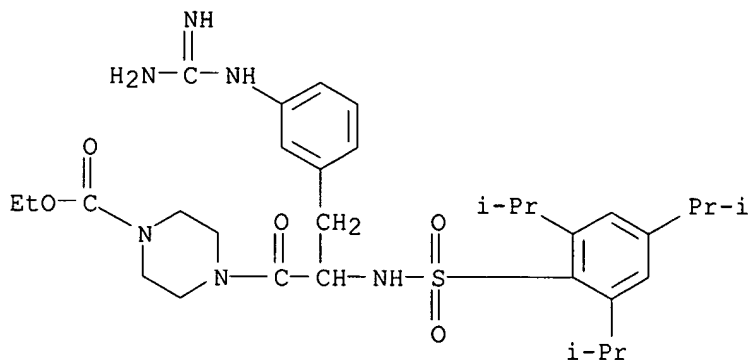
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 634599-12-5 634599-14-7 634599-18-1

(liposomal formulations of 3-amidino- and 3-guanidino phenylalanine derivs. for use as urokinase inhibitors in cancer treatment)

RN 634599-12-5 USPATFULL

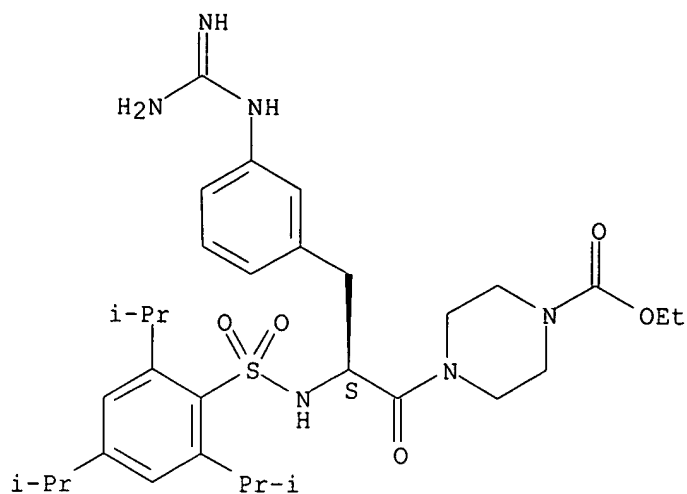
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 634599-14-7 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

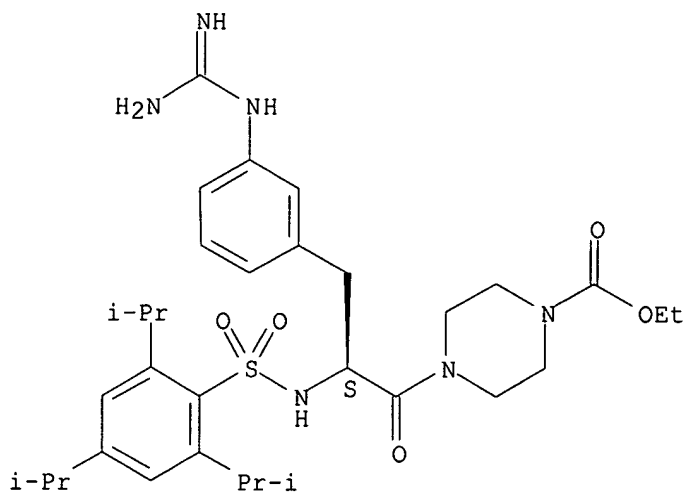
Absolute stereochemistry.



RN 634599-18-1 USPATFULL

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L33 ANSWER 5 OF 6 USPATFULL on STN

AN 2005:171786 USPATFULL

TI IAP nucleobase oligomers and oligomeric complexes and uses thereof

IN LaCasse, Eric, Ottawa, CANADA

McManus, Daniel, Ottawa, CANADA

PI US 2005148535 A1 20050707

AI US 2004-975974 A1 20041028 (10)

PRAI US 2003-516192P 20031030 (60)

<--

jan delaval - 14 august 2006

DT Utility
FS APPLICATION
LREP CLARK & ELBING LLP, 101 FEDERAL STREET, BOSTON, MA, 02110, US
CLMN Number of Claims: 48
ECL Exemplary Claim: 1
DRWN 15 Drawing Page(s)
LN.CNT 3022

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides nucleobase oligomers and oligomer complexes that inhibit expression of an IAP polypeptide, and methods for using them to induce apoptosis in a cell. The nucleobase oligomers and oligomer complexes of the present invention may also be used to form pharmaceutical compositions. The invention also features methods for enhancing apoptosis in a cell by administering a nucleobase oligomer or oligomer complex of the invention in combination with a chemotherapeutic or chemosensitizing agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 606941-37-1, WX-UK1
(human protein IAP (inhibitor of apoptosis protein) nucleobase oligomers, including dsRNA, shRNA, and siRNA, and their use for enhancing apoptosis in cancer therapy)

RN 606941-37-1 USPATFULL

L33 ANSWER 6 OF 6 USPATFULL on STN

AN 2005:138567 USPATFULL

TI Methods and reagents for the treatment of proliferative diseases

IN LaCasse, Eric, Ottawa, CANADA

McManus, Daniel, Ottawa, CANADA

Durkin, Jon P., Montreal, CANADA

PI US 2005119217 A1 20050602

AI US 2004-975790 A1 20041028 (10)

PRAI US 2003-516263P 20031030 (60)

<--

DT Utility

FS APPLICATION

LREP CLARK & ELBING LLP, 101 FEDERAL STREET, BOSTON, MA, 02110, US

CLMN Number of Claims: 58

ECL Exemplary Claim: 1

DRWN 34 Drawing Page(s)

LN.CNT 5896

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention features methods, compositions, and kits for treating a patient having a proliferative disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 606941-37-1, WX-UK1

(sequences of antisense IAP (inhibitor of apoptosis protein) oligomers and their use for treatment of proliferative diseases with a chemotherapeutic agent)

RN 606941-37-1 USPATFULL

=> => fil reg

FILE 'REGISTRY' ENTERED AT 07:26:02 ON 14 AUG 2006

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STRUCTURE FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5
DICTIONARY FILE UPDATES: 11 AUG 2006 HIGHEST RN 900864-99-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

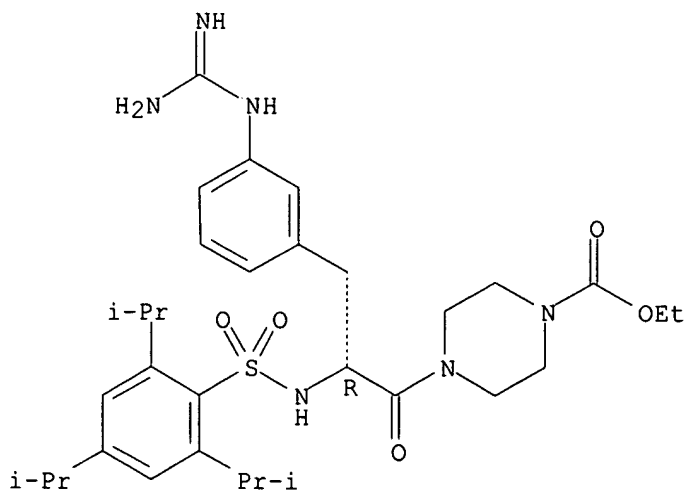
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predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d 115 ide can tot

L15 ANSWER 1 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 857521-76-7 REGISTRY
ED Entered STN: 29 Jul 2005
CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(aminoiminomethyl)amino]phenyl]-
1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl
ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H48 N6 O5 S
CI COM
SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

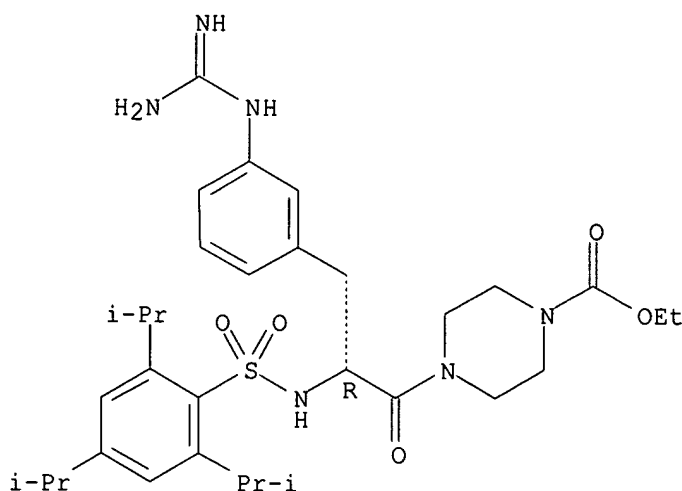
L15 ANSWER 2 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 857348-85-7 REGISTRY

ED Entered STN: 28 Jul 2005
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN D-WX-UK 1
 FS STEREOSEARCH
 MF C32 H48 N6 O5 S . Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER
 CRN (857521-76-7)

Absolute stereochemistry.



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

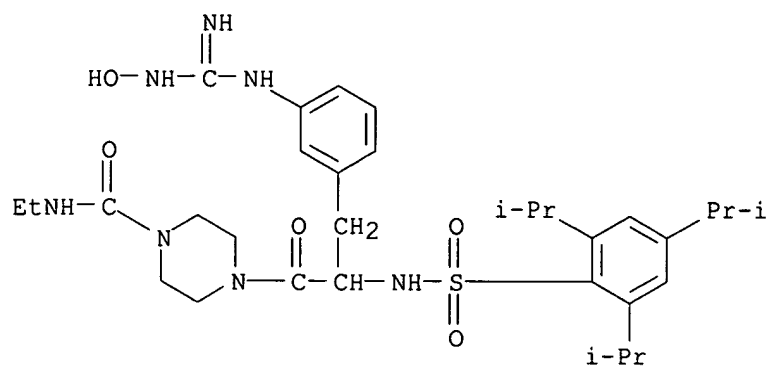
REFERENCE 1: 143:109127

L15 ANSWER 3 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 798560-87-9 REGISTRY
 ED Entered STN: 16 Dec 2004
 CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[[[hydroxyamino]iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)
 MF C32 H49 N7 O5 S . 1/2 H2 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-75-5
 CMF C32 H49 N7 O5 S

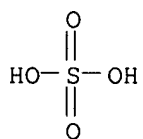
jan delaval - 14 august 2006



CM 2

CRN 7664-93-9

CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 4 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-86-8 REGISTRY

ED Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
 [(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
 methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)
 (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H49 N7 O5 S . 1/2 H2 O4 S

SR CA

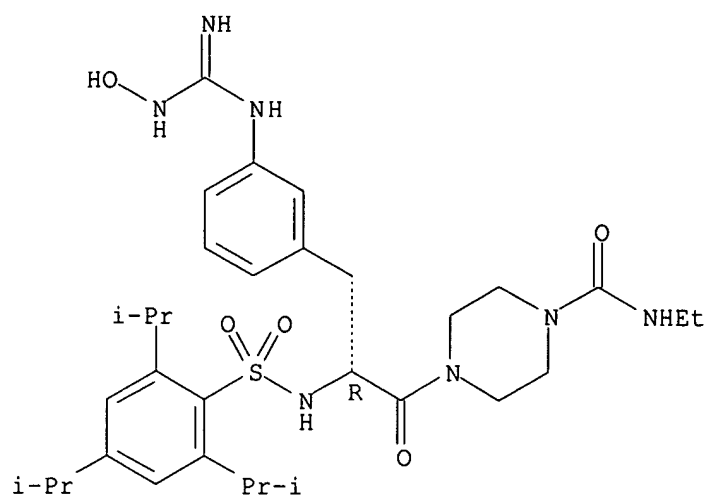
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-74-4

CMF C32 H49 N7 O5 S

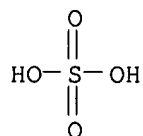
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

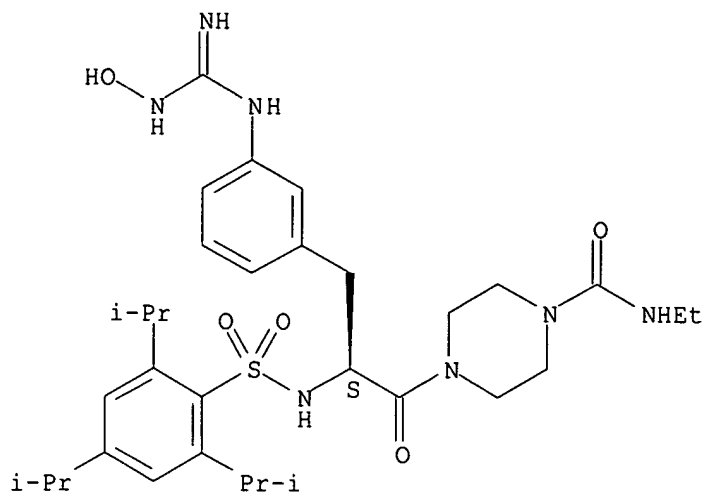
L15 ANSWER 5 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 798560-85-7 REGISTRY
 ED Entered STN: 16 Dec 2004
 CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-
 [(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
 methylethyl)phenyl]sulfonyl]amino]propyl]-, sulfate (2:1) (salt) (9CI)
 (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H49 N7 O5 S . 1/2 H2 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-73-3

CMF C32 H49 N7 O5 S

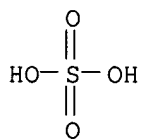
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

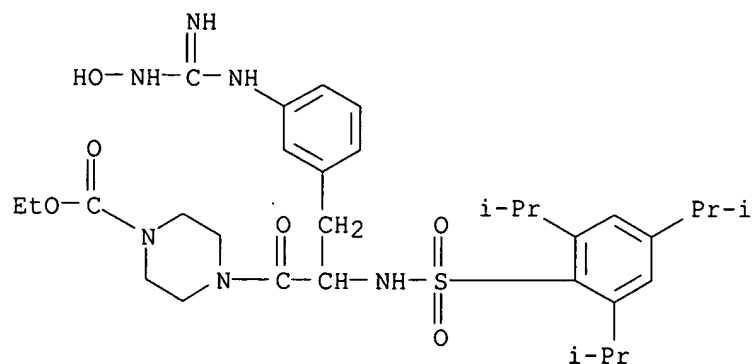
REFERENCE 2: 142:6829

L15 ANSWER 6 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 798560-84-6 REGISTRY
 ED Entered STN: 16 Dec 2004
 CN 1-Piperazinecarboxylic acid, 4-[3-[3-[[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)
 MF C32 H48 N6 O6 S . 1/2 H2 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-72-2

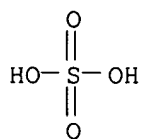
CMF C32 H48 N6 O6 S



CM 2

CRN 7664-93-9

CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

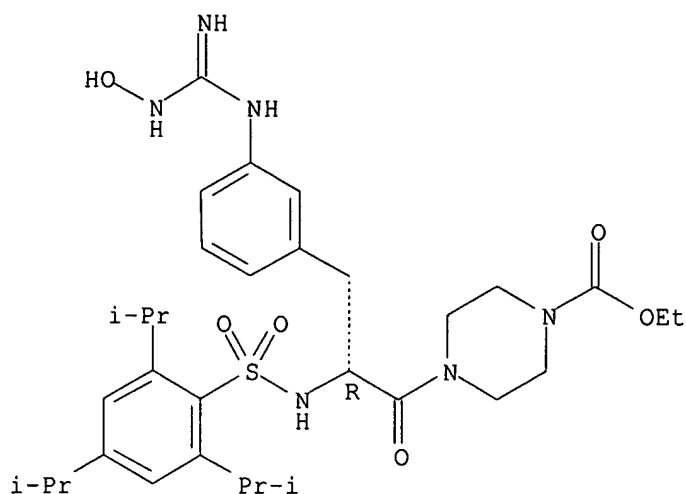
L15 ANSWER 7 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 798560-83-5 REGISTRY
 ED Entered STN: 16 Dec 2004
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[[[(hydroxyamino)iminomethyl]amin
 o]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl
]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C32 H48 N6 O6 S . 1/2 H2 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-71-1

CMF C32 H48 N6 O6 S

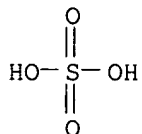
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 8 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-82-4 REGISTRY

ED Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[[hydroxyamino]iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, sulfate (2:1) (salt) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H48 N6 O6 S . 1/2 H2 O4 S

SR CA

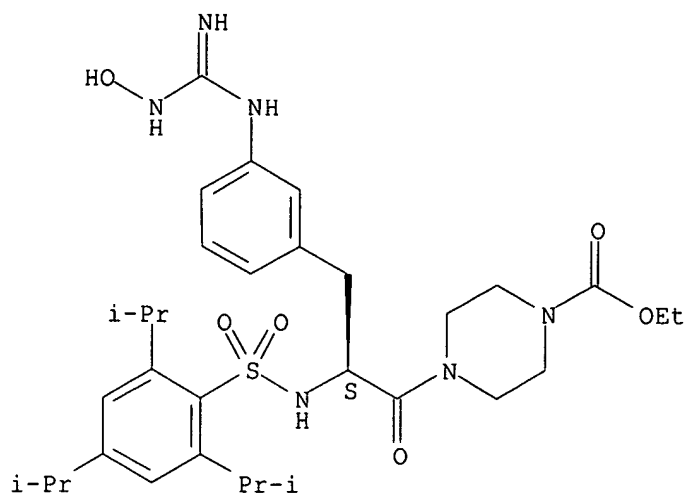
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 798560-67-5

CMF C32 H48 N6 O6 S

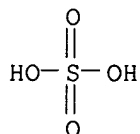
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S

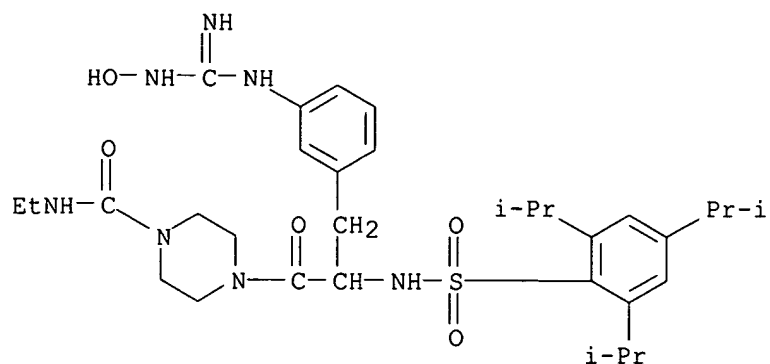


2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 9 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
 RN 798560-75-5 REGISTRY
 ED Entered STN: 16 Dec 2004
 CN 1-Piperazinecarboxamide, N-ethyl-4-[3-[3-[[(hydroxyamino)iminomethyl]amino
]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-
 (9CI) (CA INDEX NAME)
 MF C32 H49 N7 O5 S
 CI COM
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

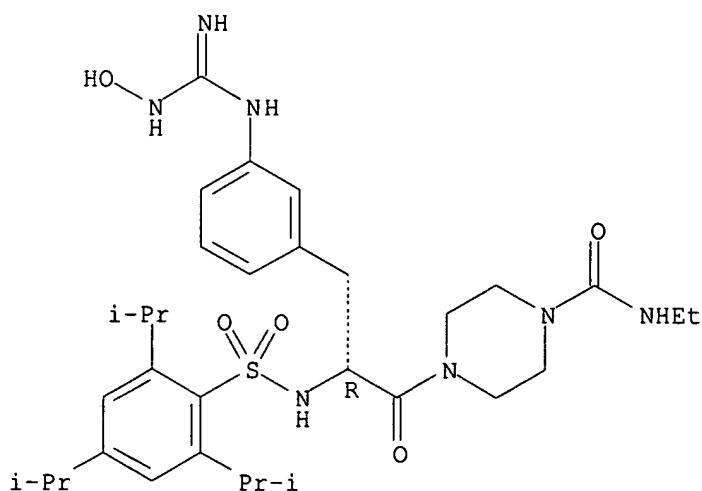
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 10 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 798560-74-4 REGISTRY
ED Entered STN: 16 Dec 2004
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2R)-3-[3-
[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H49 N7 O5 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



jan delaval - 14 august 2006

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

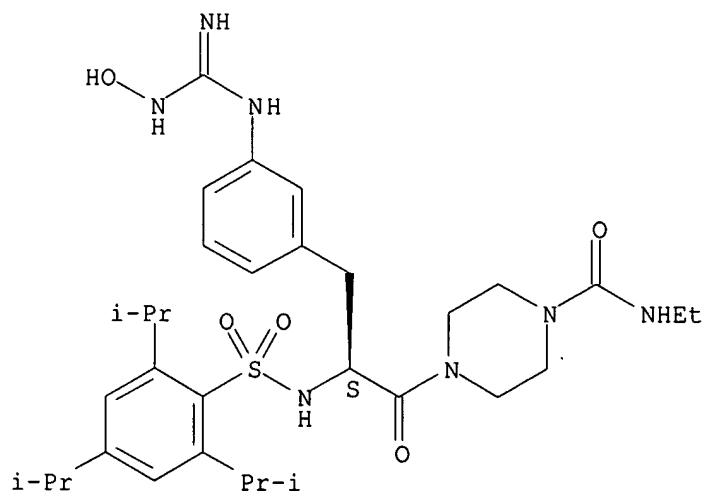
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 11 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 798560-73-3 REGISTRY
ED Entered STN: 16 Dec 2004
CN 1-Piperazinecarboxamide, N-ethyl-4-[(2S)-3-[3-
[[(hydroxyamino)iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-
methylethyl)phenyl]sulfonyl]amino]propyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H49 N7 O5 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 12 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 798560-72-2 REGISTRY
ED Entered STN: 16 Dec 2004
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[[(hydroxyamino)iminomethyl]amino]phe

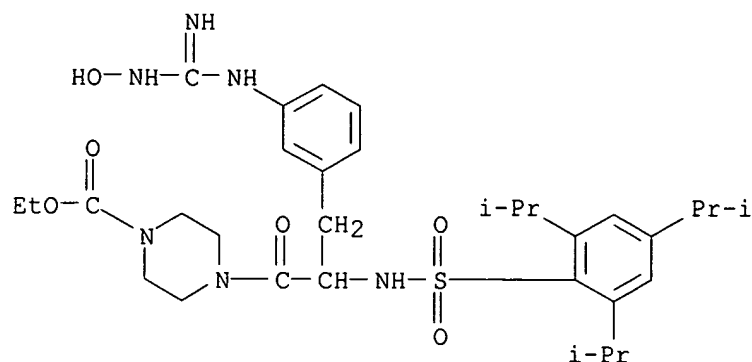
nyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

MF C32 H48 N6 O6 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 13 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-71-1 REGISTRY

ED Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxylic acid, 4-[(2R)-3-[3-[[[hydroxyamino]iminomethyl]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

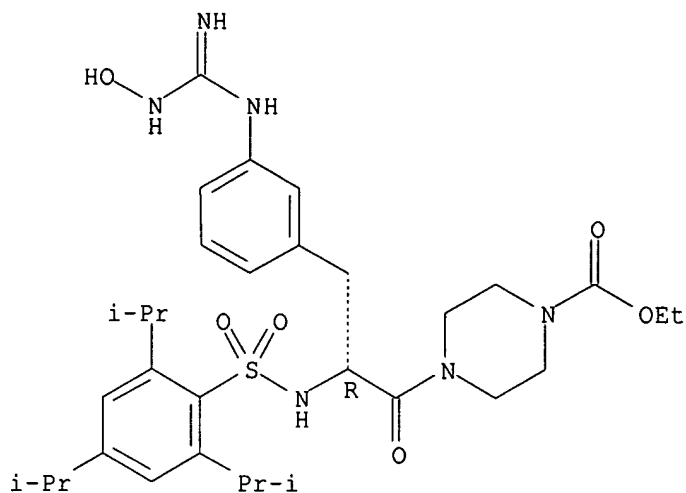
MF C32 H48 N6 O6 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 14 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 798560-67-5 REGISTRY

ED Entered STN: 16 Dec 2004

CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]aminopropyl]-1-oxo-2-[[[(hydroxyamino)iminomethyl]aminophenyl]]-ethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

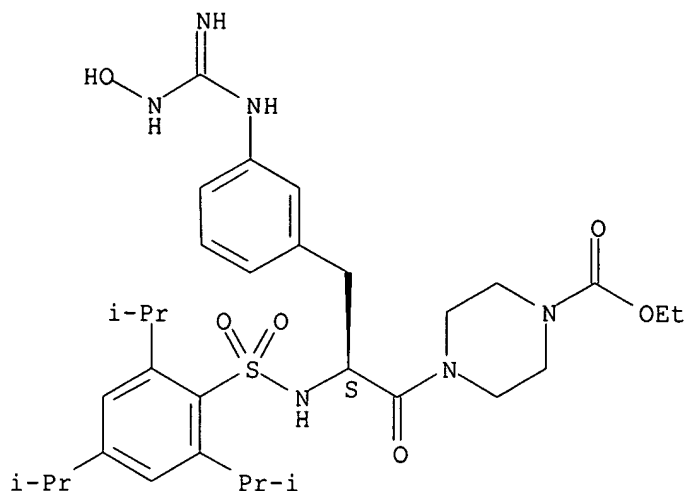
MF C32 H48 N6 O6 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

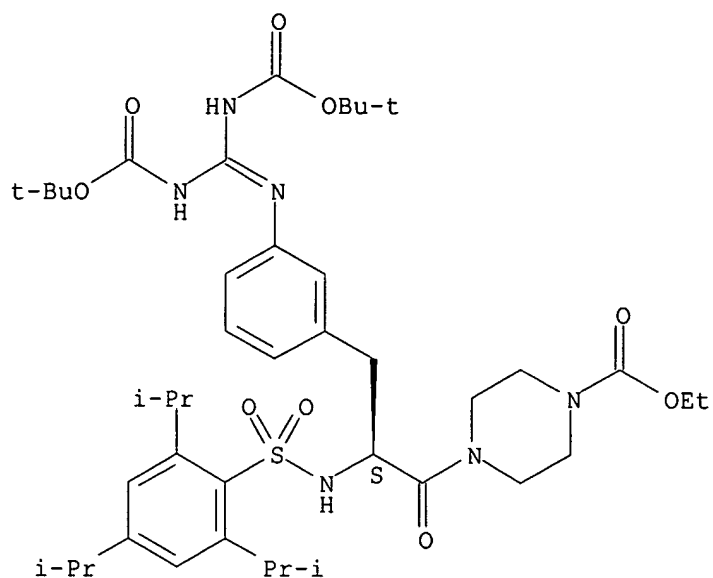
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 145:63149

REFERENCE 2: 142:6829

L15 ANSWER 15 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-23-8 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C42 H64 N6 O9 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



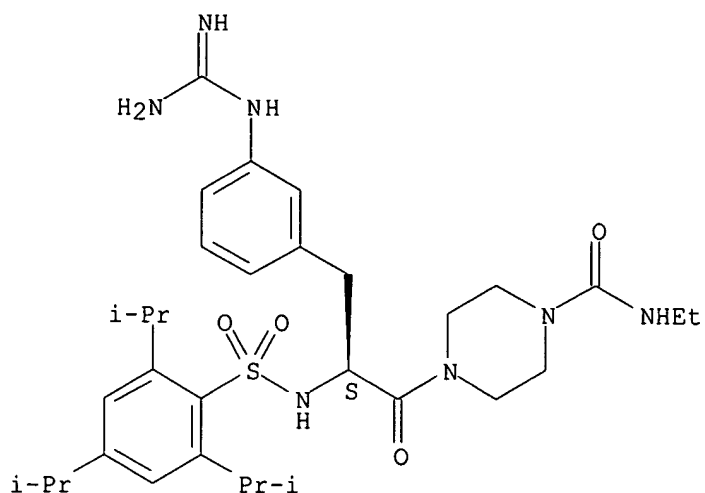
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 16 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-19-2 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H49 N7 O4 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
CRN (634599-15-8)

Absolute stereochemistry.



● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

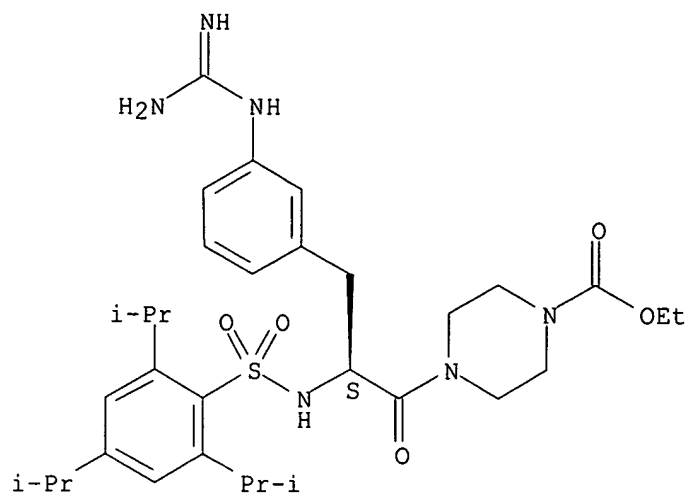
REFERENCE 1: 140:23227

L15 ANSWER 17 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-18-1 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

OTHER NAMES:

CN WX-UK 1
FS STEREOSEARCH
DR 606941-37-1
MF C32 H48 N6 O5 S . Cl H
SR CA
LC STN Files: BIOSIS, CA, CAPLUS, TOXCENTER, USPATFULL
CRN (634599-14-7)

Absolute stereochemistry.

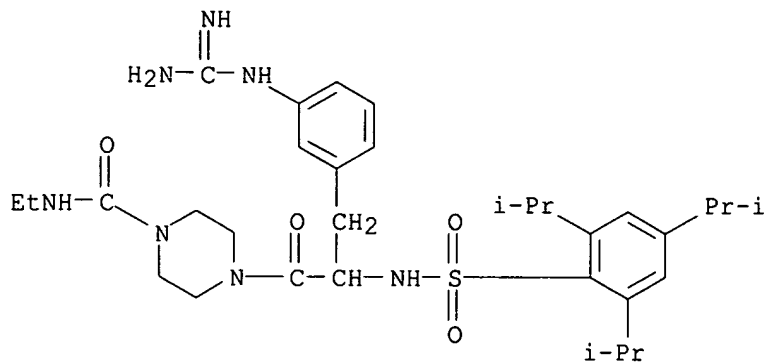


● HCl

11 REFERENCES IN FILE CA (1907 TO DATE)
11 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610
REFERENCE 2: 144:225468
REFERENCE 3: 144:46998
REFERENCE 4: 143:109127
REFERENCE 5: 142:457053
REFERENCE 6: 142:457052
REFERENCE 7: 142:349042
REFERENCE 8: 141:17137
REFERENCE 9: 140:151935
REFERENCE 10: 140:23227

L15 ANSWER 18 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-17-0 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-
[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-,
monohydrochloride (9CI) (CA INDEX NAME)
MF C32 H49 N7 O4 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
CRN (634599-13-6)

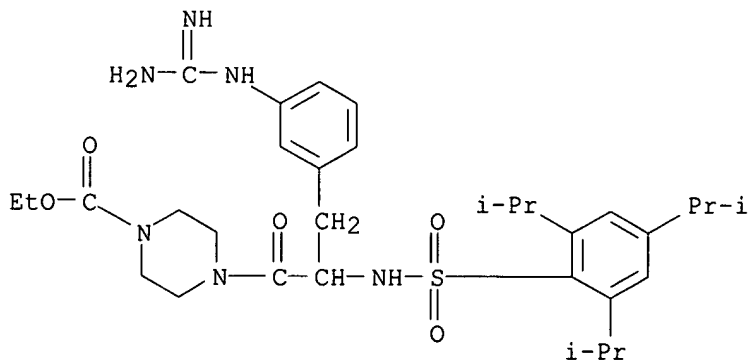


● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 19 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-16-9 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)
MF C32 H48 N6 O5 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
CRN (634599-12-5)



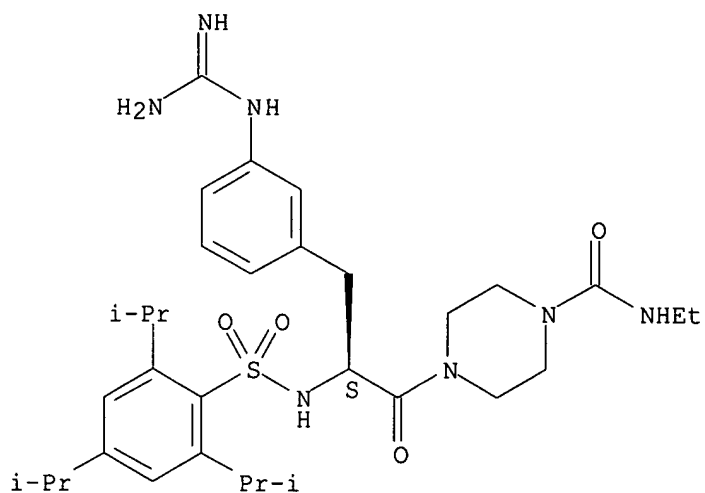
● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 20 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-15-8 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxamide, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H49 N7 O4 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



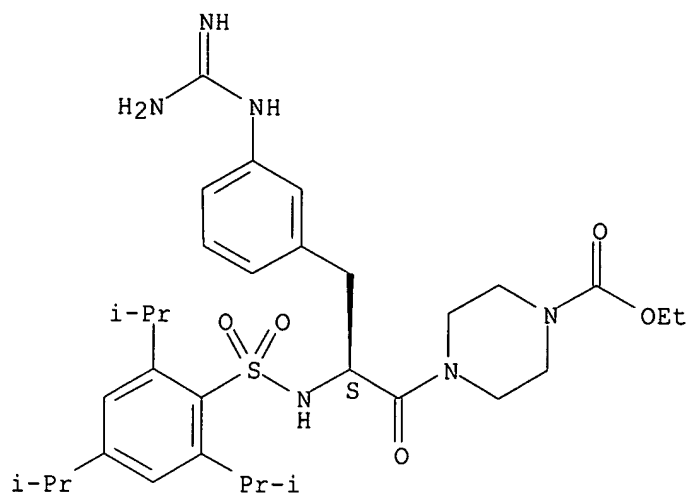
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 21 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-14-7 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxylic acid, 4-[(2S)-3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H48 N6 O5 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610

REFERENCE 2: 140:151935

REFERENCE 3: 140:146510

REFERENCE 4: 140:146509

REFERENCE 5: 140:23227

L15 ANSWER 22 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN

RN 634599-13-6 REGISTRY

ED Entered STN: 06 Jan 2004

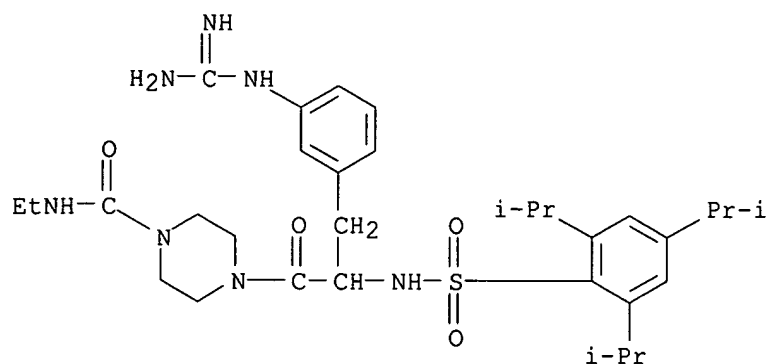
CN 1-Piperazinecarboxamide, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-N-ethyl- (9CI)
(CA INDEX NAME)

MF C32 H49 N7 O4 S

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

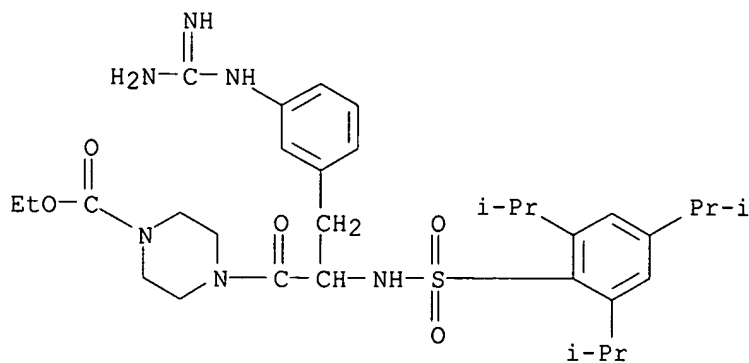


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:23227

L15 ANSWER 23 OF 23 REGISTRY COPYRIGHT 2006 ACS on STN
RN 634599-12-5 REGISTRY
ED Entered STN: 06 Jan 2004
CN 1-Piperazinecarboxylic acid, 4-[3-[3-[(aminoiminomethyl)amino]phenyl]-1-oxo-2-[[[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]amino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)
MF C32 H48 N6 O5 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 144:318610

REFERENCE 2: 140:151935

REFERENCE 3: 140:146510

REFERENCE 4: 140:146509

REFERENCE 5: 140:23227

=> => fil biosis medline embase

FILE 'BIOSIS' ENTERED AT 07:30:02 ON 14 AUG 2006

Copyright (c) 2006 The Thomson Corporation

FILE 'MEDLINE' ENTERED AT 07:30:02 ON 14 AUG 2006

FILE 'EMBASE' ENTERED AT 07:30:02 ON 14 AUG 2006

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=> d all tot

L42 ANSWER 1 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
DUPLICATE 1

AN 2003:286559 BIOSIS

DN PREV200300286559

TI Protease inhibitors prevent plasminogen-mediated, but not pemphigus
vulgaris-induced, acantholysis in human epidermis.

AU Schuh, Theda; Besch, Robert; Braungart, Evelyn; Flaig, Michael J.; Douwes,
Kathrin; Sander, Christian A.; Magdolen, Viktor; Probst, Christopher;
Wosikowski, Katja; Degitz, Klaus [Reprint Author]

CS Department of Dermatology, Ludwig-Maximilians University, D-80337, Munich,
Germany

SO Biological Chemistry, (February 2003) Vol. 384, No. 2, pp. 311-315. print.
ISSN: 1431-6730.

DT Article

LA English

ED Entered STN: 19 Jun 2003

Last Updated on STN: 19 Jun 2003

AB Pemphigus is an autoimmune blistering disease of the skin and mucous
membranes. It is caused by autoantibodies directed against desmosomes,
which are the principal adhesion structures between epidermal
keratinocytes. Binding of autoantibodies leads to the destruction of
desmosomes resulting in the loss of cell-cell adhesion (acantholysis) and
epidermal blisters. The plasminogen activator system has been implicated
as a proteolytic effector in pemphigus. We have tested inhibitors of the
plasminogen activator system with regard to their potential to prevent
pemphigus-induced cutaneous pathology. In a human split skin culture
system, IgG preparations of sera from pemphigus vulgaris patients caused
histopathologic changes (acantholysis) similar to those observed in the
original pemphigus disease. All inhibitors that were tested (active site
inhibitors directed against uPA, tPA, and/or plasmin; antibodies
neutralizing the enzymatic activity of uPA or tPA; substances interfering
with the binding of uPA to its specific cell surface receptor uPAR) failed
to prevent pemphigus vulgaris IgG-mediated acantholysis.
Plasminogen-mediated acantholysis, however, was effectively antagonized by
the synthetic active site serine protease inhibitor **WX-**
UK1 or by p-aminomethylbenzoic acid. Our data argue against
applying anti-plasminogen activator/anti-plasmin strategies in the
management of pemphigus.

CC Biochemistry studies - Proteins, peptides and amino acids 10064

Enzymes - General and comparative studies: coenzymes 10802

Pathology - Therapy 12512

Integumentary system - Physiology and biochemistry 18504
 Integumentary system - Pathology 18506
 Pharmacology - General 22002
 Pharmacology - Clinical pharmacology 22005
 Immunology - General and methods 34502
 Immunology - Immunopathology, tissue immunology 34508
 IT Major Concepts
 Immune System (Chemical Coordination and Homeostasis); Integumentary
 System (Chemical Coordination and Homeostasis); Pharmacology
 IT Parts, Structures, & Systems of Organisms
 epidermis: integumentary system
 IT Diseases
 acantholysis: integumentary system disease
 Acantholysis (MeSH)
 IT Diseases
 pemphigus vulgaris: immune system disease, integumentary system disease
 Pemphigus (MeSH)
 IT Chemicals & Biochemicals
 IgG [immunoglobulin G]; **WX-UK1**: enzyme
 inhibitor-drug; p-aminomethylbenzoic acid: enzyme inhibitor-drug;
 plasmin [EC 3.4.21.7]; plasminogen; tPA; urokinase-type plasminogen
 activator; urokinase-type plasminogen activator receptor
 ORGN Classifier
 Hominidae 86215
 Super Taxa
 Primates; Mammalia; Vertebrata; Chordata; Animalia
 Organism Name
 human (common)
 Taxa Notes
 Animals, Chordates, Humans, Mammals, Primates, Vertebrates
 RN **606941-37-1 (WX-UK1)**
 9001-90-5 (plasmin)
 9001-90-5 (EC 3.4.21.7)
 9001-91-6 (plasminogen)
 9039-53-6 (urokinase-type plasminogen activator)
 L42 ANSWER 2 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
 AN 2002:386282 BIOSIS
 DN PREV200200386282
 TI Antimetastatic efficacy of **WX-UK1** in a resected,
 spontaneously metastasizing rat mammary tumor model.
 AU Wosikowski, Katja [Reprint author]; Foekens, John; Setyono-Han, Buddy;
 Stuerzebecher, Joerg; Tschesche, Harald; Schmalix, Wolfgang
 CS Wilex AG, Munich, Germany
 SO Proceedings of the American Association for Cancer Research Annual
 Meeting, (March, 2002) Vol. 43, pp. 158. print.
 Meeting Info.: 93rd Annual Meeting of the American Association for Cancer
 Research. San Francisco, California, USA. April 06-10, 2002.
 ISSN: 0197-016X.
 DT Conference; (Meeting)
 Conference; Abstract; (Meeting Abstract)
 LA English
 ED Entered STN: 17 Jul 2002
 Last Updated on STN: 17 Jul 2002
 CC General biology - Symposia, transactions and proceedings 00520
 Cytology - Animal 02506
 Anatomy and Histology - Surgery 11105
 Pathology - Therapy 12512
 Reproductive system - Physiology and biochemistry 16504
 Pharmacology - General 22002

Neoplasms - Pathology, clinical aspects and systemic effects 24004
 Neoplasms - Therapeutic agents and therapy 24008

IT Major Concepts
 Pharmacology; Reproductive System (Reproduction); Tumor Biology

IT Chemicals & Biochemicals
 WX-UK1: antineoplastic-drug, subcutaneous
 administration

IT Methods & Equipment
 tumor resection: surgical method

IT Miscellaneous Descriptors
 drug efficacy; Meeting Abstract

ORGN Classifier
 Muridae 86375
 Super Taxa
 Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name
 BN472 cell line: rat mammary tumor cells
 rat

Taxa Notes
 Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals,
 Rodents, Vertebrates

L42 ANSWER 3 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
 AN 2001:366627 BIOSIS
 DN PREV200100366627

TI Small molecule approach to inhibit the urokinase-type plasminogen
 activator system.

AU Probst, J. C. [Reprint author]; Buergle, M.; Foekens, J.; Kessler, H.;
 Magdolen, V.; Moroder, L.; Potthoff, N.; Schmalix, W.; Schmiedeberg, N.;
 Schmitt, M.; Setyono-Han, B.; Sperl, S.; Stuerzebecher, J.

CS Erasmus University, Rotterdam, Netherlands

SO Proceedings of the American Association for Cancer Research Annual
 Meeting, (March, 2001) Vol. 42, pp. 69. print.
 Meeting Info.: 92nd Annual Meeting of the American Association for Cancer
 Research. New Orleans, LA, USA. March 24-28, 2001. American Association
 for Cancer Research.
 ISSN: 0197-016X.

DT Conference; (Meeting)
 Conference; Abstract; (Meeting Abstract)

LA English

ED Entered STN: 2 Aug 2001
 Last Updated on STN: 19 Feb 2002

CC General biology - Symposia, transactions and proceedings 00520
 Cytology - Animal 02506
 Pathology - Therapy 12512
 Cardiovascular system - Physiology and biochemistry 14504
 Pharmacology - General 22002
 Neoplasms - Pathology, clinical aspects and systemic effects 24004
 Neoplasms - Therapeutic agents and therapy 24008

IT Major Concepts
 Pharmacology; Tumor Biology

IT Parts, Structures, & Systems of Organisms
 aorta: circulatory system; aortic assay, analytical method;
 extracellular matrix

IT Diseases
 cancer: neoplastic disease
 Neoplasms (MeSH)

IT Chemicals & Biochemicals
 WX-293: antineoplastic-drug, phenylguanidine-based small molecule
 inhibitor; WX-360: antineoplastic-drug, peptide-based

urokinase-plasminogen activator receptor antagonist; **WX-UK1**: antineoplastic-drug, protease inhibitor-drug, serine protease inhibitor; urokinase-type plasminogen activator system: inhibition, small molecular approach

IT Miscellaneous Descriptors
tumor angiogenesis; tumor cell migration; tumor invasion; tumor metastasis; Meeting Abstract

ORGN Classifier
Muridae 86375
Super Taxa
Rodentia; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rat
Taxa Notes
Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Rodents, Vertebrates

L42 ANSWER 4 OF 10 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
AN 2001:366628 BIOSIS
DN PREV200100366628
TI Anti-tumor and anti-metastatic activity of the urokinase/plasmin inhibitor, **WX-UK1**, as single agent or in combination with epirubicin in the rat BN-472 mammary carcinoma model.
AU Setyono-Han, Buddy [Reprint author]; Schmalix, Wolfgang A.; Sieuwerts, Anieta M.; Timmermans, Mieke; Wilhelm, Olaf G.; Klijn, Jan G. M.; Foekens, John A.
CS University Hospital of Rotterdam, Rotterdam, Netherlands
SO Proceedings of the American Association for Cancer Research Annual Meeting, (March, 2001) Vol. 42, pp. 69. print.
Meeting Info.: 92nd Annual Meeting of the American Association for Cancer Research. New Orleans, LA, USA. March 24-28, 2001. American Association for Cancer Research.
ISSN: 0197-016X.
DT Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LA English
ED Entered STN: 2 Aug 2001
Last Updated on STN: 19 Feb 2002
CC General biology - Symposia, transactions and proceedings 00520
Cytology - Animal 02506
Enzymes - General and comparative studies: coenzymes 10802
Pathology - Therapy 12512
Blood - Blood and lymph studies 15002
Blood - Blood cell studies 15004
Respiratory system - Physiology and biochemistry 16004
Reproductive system - Pathology 16506
Endocrine - General 17002
Pharmacology - General 22002
Neoplasms - Immunology 24003
Neoplasms - Pathology, clinical aspects and systemic effects 24004
Neoplasms - Therapeutic agents and therapy 24008
Immunology - General and methods 34502
Immunology - Immunopathology, tissue immunology 34508
IT Major Concepts
Pharmacology; Tumor Biology
IT Parts, Structures, & Systems of Organisms
lung: respiratory system; lymph node: blood and lymphatics, immune system; thymus: blood and lymphatics, endocrine system, immune system
IT Diseases
mammary cancer: neoplastic disease, reproductive system disease/female

Breast Neoplasms (MeSH)
IT Chemicals & Biochemicals
 WX-UK1: antineoplastic-drug, protease
 inhibitor-drug, anti-metastatic activity, anti-tumor activity, serine
 protease inhibitor, urokinase/plasmin inhibitor; **WX-**
 UK1-epirubicin: antineoplastic-drug; plasmin; urokinase;
 urokinase-type plasminogen activator
IT Miscellaneous Descriptors
 tumor growth; Meeting Abstract
ORGN Classifier
 Muridae 86375
 Super Taxa
 Rodentia; Mammalia; Vertebrata; Chordata; Animalia
 Organism Name
 BN-472 cell line: rat mammary carcinoma cells
 rat: animal model
 Taxa Notes
 Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals,
 Rodents, Vertebrates
RN 9001-90-5 (plasmin)
 9039-53-6 (urokinase)
 9039-53-6 (urokinase-type plasminogen activator)
 139639-24-0 (UROKINASE-TYPE PLASMINOGEN ACTIVATOR)

L42 ANSWER 5 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
 reserved on STN
AN 2003253590 EMBASE
TI Inhibitors of the proteolytic activity or urokinase type plasminogen
 activator.
AU Rockway T.W.; Giranda V.L.
CS V.L. Giranda, Department of Cancer Research, Abbott Laboratories, 100
 Abbott Park Road, Abbott Park, IL 60064, United States.
 girandav@abbott.com
SO Current Pharmaceutical Design, (2003) Vol. 9, No. 19, pp. 1483-1498. .
 Refs: 109
 ISSN: 1381-6128 CODEN: CPDEFP
CY Netherlands
DT Journal; General Review
FS 016 Cancer
 029 Clinical Biochemistry
 030 Pharmacology
 037 Drug Literature Index
LA English
SL English
ED Entered STN: 10 Jul 2003
 Last Updated on STN: 10 Jul 2003
AB Urokinase type plasminogen activator (uPA) activates plasminogen to
 plasmin and is often associated with diseases where tissue remodeling is
 essential (e.g. cancer, macular degeneration, atherosclerosis). We
 discuss some of the mechanisms of uPA action in diseases, and evidence
 that some of the early uPA inhibitors can modulate the progression of
 these diseases. Recently, a number of research groups have discovered,
 with the aid of structure-based design, a new generation of uPA
 inhibitors. These inhibitors are much more potent and selective than
 their predecessors. We will review this progress here, and give
 particular attention to the structural rationale associated with these
 observed increases in potency and selectivity.
CT Medical Descriptors:
 protein degradation
 plasminogen activation

cancer therapy
retina macula degeneration
atherosclerosis
drug mechanism
drug structure
drug design
drug potency
drug effect
drug tolerability
antineoplastic activity
structure activity relation
breast cancer: DT, drug therapy
prostate cancer: DT, drug therapy
enzyme activity
human
nonhuman
review
priority journal
Drug Descriptors:
*plasminogen activator inhibitor: AN, drug analysis
*plasminogen activator inhibitor: DV, drug development
*plasminogen activator inhibitor: PD, pharmacology
*plasminogen activator inhibitor: SC, subcutaneous drug administration
plasminogen: EC, endogenous compound
plasmin: EC, endogenous compound
amiloride: DV, drug development
amiloride: PD, pharmacology
potassium sparing diuretic agent: DT, drug therapy
potassium sparing diuretic agent: PD, pharmacology
tamoxifen: DT, drug therapy
tamoxifen: PD, pharmacology
antiestrogen: DT, drug therapy
antiestrogen: PD, pharmacology
benzamidine derivative: AN, drug analysis
benzamidine derivative: DV, drug development
benzamidine derivative: PD, pharmacology
guanidine derivative: AN, drug analysis
guanidine derivative: DV, drug development
guanidine derivative: PD, pharmacology
phenylguanidine: AN, drug analysis
phenylguanidine: DV, drug development
phenylguanidine: PD, pharmacology
4 chlorophenylguanidine: AN, drug analysis
4 chlorophenylguanidine: DV, drug development
4 chlorophenylguanidine: PD, pharmacology
4 trifluoromethylphenylguanidine: AN, drug analysis
4 trifluoromethylphenylguanidine: DV, drug development
4 trifluoromethylphenylguanidine: PD, pharmacology
aryl amidine derivative: AN, drug analysis
aryl amidine derivative: DV, drug development
aryl amidine derivative: PD, pharmacology
naphthamidine derivative: AN, drug analysis
naphthamidine derivative: DV, drug development
naphthamidine derivative: PD, pharmacology
benzo[b]thiophene 2 carboxamidine: AN, drug analysis
benzo[b]thiophene 2 carboxamidine: DV, drug development
benzo[b]thiophene 2 carboxamidine: PD, pharmacology
6,8 disubstituted naphthamidine derivative: AN, drug analysis
6,8 disubstituted naphthamidine derivative: DV, drug development
6,8 disubstituted naphthamidine derivative: PD, pharmacology

2 naphthamidine: AN, drug analysis
 2 naphthamidine: DV, drug development
 2 naphthamidine: PD, pharmacology
 7 methoxy 8 acetamidoxy 2 naphthamidine: AN, drug analysis
 7 methoxy 8 acetamidoxy 2 naphthamidine: DV, drug development
 7 methoxy 8 acetamidoxy 2 naphthamidine: PD, pharmacology
 8 methylcarbamate 2 naphthamidine: AN, drug analysis
 8 methylcarbamate 2 naphthamidine: DV, drug development
 8 methylcarbamate 2 naphthamidine: PD, pharmacology
 2 aminoquinoline derivative: AN, drug analysis
 2 aminoquinoline derivative: DV, drug development
 2 aminoquinoline derivative: PD, pharmacology
 2 aminobenzimidazole derivative: AN, drug analysis
 2 aminobenzimidazole derivative: DV, drug development
 2 aminobenzimidazole derivative: PD, pharmacology
 amidinoindole derivative: AN, drug analysis
 amidinoindole derivative: DV, drug development
 amidinoindole derivative: PD, pharmacology
 amidinobenzimidazole derivative: AN, drug analysis
 amidinobenzimidazole derivative: DV, drug development
 amidinobenzimidazole derivative: PD, pharmacology
 thiophene 2 carboxamide derivative: AN, drug analysis
 thiophene 2 carboxamide derivative: DV, drug development
 thiophene 2 carboxamide derivative: PD, pharmacology
 antineoplastic agent: AN, drug analysis
 antineoplastic agent: DV, drug development
 antineoplastic agent: PD, pharmacology
 kallikrein: EC, endogenous compound
 blood clotting factor 10a: EC, endogenous compound
 blood clotting factor 7a: EC, endogenous compound
 unclassified drug
 b 428
 b 623

wx uk 1

RN (plasminogen activator inhibitor) 105844-41-5; (plasminogen) 9001-91-6;
 (plasmin) 9001-90-5, 9004-09-5; (amiloride) 2016-88-8, 2609-46-3;
 (tamoxifen) 10540-29-1; (phenylguanidine) 2002-16-6; (kallikrein)
 8006-48-2, 9001-01-8; (blood clotting factor 10a) 72162-96-0, 9002-05-5;
 (blood clotting factor 7a) 98982-74-2
 CN B 428; B 623; **Wx uk 1**
 CO Alys

L42 ANSWER 6 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
 reserved on STN
 AN 2003250034 EMBASE
 TI Small molecule inhibitors of urokinase-type plasminogen activator.
 AU Rockway T.W.
 CS T.W. Rockway, Abbott Laboratories, Global Pharmaceutical Res./Devmt., 200
 Abbott Park Road, Abbott Park, IL 60064-6217, United States.
 todd.w.rockway@abbott.com
 SO Expert Opinion on Therapeutic Patents, (1 Jun 2003) Vol. 13, No. 6, pp.
 773-786. .
 Refs: 60
 ISSN: 1354-3776 CODEN: EOTPEG
 CY United Kingdom
 DT Journal; General Review
 FS 016 Cancer
 030 Pharmacology
 037 Drug Literature Index
 038 Adverse Reactions Titles

LA English
SL English
ED Entered STN: 10 Jul 2003

Last Updated on STN: 10 Jul 2003

AB The urokinase-type plasminogen activator (uPA) protein is a multifunctional protein involved in a myriad of biological activities including extracellular matrix degradation and cell invasion. Active uPA is a 411 amino acid protein consisting of 3 domains, each of which confers a particular biological function to the overall protein. The amino terminal domain or growth factor domain (GFD), comprised of amino acid residues 1-48, is involved in uPA interaction with its cell surface receptor, urokinase-type plasminogen activator receptor (UPAR). The interaction of uPA with UPAR promotes, in part, cell adhesion, migration and invasion. A second domain is the kringle domain, comprising amino acid residues 49-135. Initially thought to bind heparin, the kringle domain has more recently been shown to possess antiangiogenic activity. A third domain comprising amino acid residues 159-411, the serine protease domain, is involved in the proteolytic activation of plasminogen to plasmin. The production of plasmin by uPA begins a cascade of events manifested by extracellular matrix degradation. The recent patent literature describes small molecule compounds, which inhibit the interaction of uPA with UPAR, inhibit the proteolytic activity of the uPA serine protease domain and inhibit the interaction of uPA with its natural inhibitor, plasminogen activator inhibitor-1 (PAI-1). Small peptides encompassing residues 19-31 of the GFD have been developed which exhibit potent inhibition of the uPA-UPAR interaction and show efficacy in tumour-bearing animal models. Small molecules have been disclosed by Corvas, which are reported to be inhibitors of PAI-1. Finally, two approaches toward the development of inhibitors of the uPA serine protease domain have been described in the recent patent literature. The first approach describes non-covalent peptide-derived inhibitors discovered by phage display techniques, which bind in the substrate-binding groove of the uPA active site. An alternative approach describes non-covalent small molecule inhibitors, which bind in the enzyme active site in a slightly different binding mode than the peptide-derived inhibitors. These small molecule non-peptide analogues inhibit the uPA proteolytic activity quite effectively and are reported to possess excellent enzyme selectivity and highly improved oral activity. The clinical utility of small molecule uPA enzyme inhibitor analogues awaits the results of a preliminary clinical evaluation of compounds described by Willex.

CT Medical Descriptors:
protein function
extracellular matrix
cell invasion
protein domain
amino terminal sequence
protein protein interaction
cell adhesion
cell migration
protein binding
kringle domain
protein degradation
drug efficacy
phage display
patent
enzyme binding
enzyme active site
drug selectivity
drug structure
drug targeting

breast carcinoma: DT, drug therapy
drug bioavailability
side effect: SI, side effect
human
nonhuman
clinical trial
review

CT Drug Descriptors:

*plasminogen activator inhibitor: AE, adverse drug reaction
*plasminogen activator inhibitor: CT, clinical trial
*plasminogen activator inhibitor: AN, drug analysis
*plasminogen activator inhibitor: DV, drug development
*plasminogen activator inhibitor: DT, drug therapy
*plasminogen activator inhibitor: PK, pharmacokinetics
*plasminogen activator inhibitor: PD, pharmacology
*plasminogen activator inhibitor: PO, oral drug administration
urokinase: EC, endogenous compound
growth factor: EC, endogenous compound
amino acid: EC, endogenous compound
cell surface receptor: EC, endogenous compound
urokinase receptor: EC, endogenous compound
heparin: EC, endogenous compound
angiogenesis inhibitor: PD, pharmacology
serine proteinase: EC, endogenous compound
plasminogen: EC, endogenous compound
plasmin: EC, endogenous compound
plasminogen activator inhibitor 1: EC, endogenous compound
cyclopeptide: AN, drug analysis
cyclopeptide: DV, drug development
cyclopeptide: DT, drug therapy
cyclopeptide: PD, pharmacology
cyclopeptide: PO, oral drug administration
antineoplastic agent: AE, adverse drug reaction
antineoplastic agent: CT, clinical trial
antineoplastic agent: AN, drug analysis
antineoplastic agent: DV, drug development
antineoplastic agent: DT, drug therapy
antineoplastic agent: PK, pharmacokinetics
antineoplastic agent: PD, pharmacology
antineoplastic agent: PO, oral drug administration
peptoid: AN, drug analysis
peptoid: DV, drug development
peptoid: PD, pharmacology
peptoid: PO, oral drug administration
isothiuronium derivative: AN, drug analysis
isothiuronium derivative: DV, drug development
isothiuronium derivative: PD, pharmacology
isothiuronium derivative: PO, oral drug administration
carboxylic acid derivative: AN, drug analysis
carboxylic acid derivative: DV, drug development
carboxylic acid derivative: PD, pharmacology
carboxylic acid derivative: PO, oral drug administration
benzoic acid derivative: AN, drug analysis
benzoic acid derivative: DV, drug development
benzoic acid derivative: PD, pharmacology
benzoic acid derivative: PO, oral drug administration
rhodamine: AN, drug analysis
rhodamine: DV, drug development
rhodamine: PD, pharmacology
rhodamine: PO, oral drug administration

phenylpropionic acid derivative: AN, drug analysis
 phenylpropionic acid derivative: DV, drug development
 phenylpropionic acid derivative: PD, pharmacology
 phenylpropionic acid derivative: PO, oral drug administration
 guanidine derivative: AN, drug analysis
 guanidine derivative: DV, drug development
 guanidine derivative: PD, pharmacology
 guanidine derivative: PO, oral drug administration
 benzylamine derivative: AN, drug analysis
 benzylamine derivative: DV, drug development
 benzylamine derivative: PD, pharmacology
 benzylamine derivative: PO, oral drug administration
 amidine: AE, adverse drug reaction
 amidine: AN, drug analysis
 amidine: DV, drug development
 amidine: PK, pharmacokinetics
 amidine: PD, pharmacology
 amidine: PO, oral drug administration
 indoloamidine derivative: AN, drug analysis
 indoloamidine derivative: DV, drug development
 indoloamidine derivative: PD, pharmacology
 indoloamidine derivative: PO, oral drug administration
 naphthamidine derivative: AN, drug analysis
 naphthamidine derivative: DV, drug development
 naphthamidine derivative: PK, pharmacokinetics
 naphthamidine derivative: PD, pharmacology
 naphthamidine derivative: PO, oral drug administration
 wx uk1: AE, adverse drug reaction
 wx uk1: CT, clinical trial
 wx uk1: AN, drug analysis
 wx uk1: DV, drug development
 wx uk1: PK, pharmacokinetics
 wx uk1: PD, pharmacology
 wx uk1: PO, oral drug administration
 carboline derivative: AN, drug analysis
 carboline derivative: DV, drug development
 carboline derivative: PD, pharmacology
 carboline derivative: PO, oral drug administration
 benzothiophene derivative: AN, drug analysis
 benzothiophene derivative: DV, drug development
 benzothiophene derivative: PD, pharmacology
 benzothiophene derivative: PO, oral drug administration
 unindexed drug
 unclassified drug

wx uk 1

RN (plasminogen activator inhibitor) 105844-41-5; (urokinase) 139639-24-0;
 (amino acid) 65072-01-7; (heparin) 37187-54-5, 8057-48-5, 8065-01-8,
 9005-48-5; (serine proteinase) 37259-58-8; (plasminogen) 9001-91-6;
 (plasmin) 9001-90-5, 9004-09-5; (plasminogen activator inhibitor 1)
 140208-23-7

CN (1) Wx uk 1

CO (1) Willex

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AN 2003109633 EMBASE

TI Synthetic urokinase inhibitors as potential antitumor drugs.

AU Steinmetzer T.

CS T. Steinmetzer, Curacyte Chemistry GmbH, Winzerlaer Strasse 2a, 07745
 Jena, Germany. torsten.steinmetzer@curacyte.com

SO IDrugs, (1 Feb 2003) Vol. 6, No. 2, pp. 138-146. .
Refs: 63
ISSN: 1369-7056 CODEN: IDRUFN
CY United Kingdom
DT Journal; General Review
FS 016 Cancer
037 Drug Literature Index
030 Pharmacology
029 Clinical Biochemistry
005 General Pathology and Pathological Anatomy
038 Adverse Reactions Titles
LA English
SL English
ED Entered STN: 27 Mar 2003
Last Updated on STN: 27 Mar 2003
AB Urokinase-mediated plasminogen activation is involved in many normal physiological processes, including tissue remodeling, embryogenesis, wound healing and clot lysis. In addition, elevated levels of urokinase, the urokinase receptor uPA-R and its endogenous inhibitor plasminogen activator inhibitor (PAI-1), in combination with plasmin, play an important role in the pathogenesis of malignancy through its ability to mediate tumor cell growth, invasion and metastatic dissemination. The inhibition of urokinase with synthetic inhibitors is a new concept for a specific cancer therapy. This review examines synthetic urokinase inhibitors described during the last two years.
CT Medical Descriptors:
*cancer: DT, drug therapy
*cancer: ET, etiology
human
clinical trial
nonhuman
plasminogen activation
enzyme blood level
tumor growth
cancer invasion
metastasis: CO, complication
metastasis: DT, drug therapy
enzyme inhibition
cancer chemotherapy
drug safety
drug tolerability
drug structure
side effect: SI, side effect
dose response
drug absorption
drug bioavailability
drug solubility
drug half life
drug elimination
drug design
review
CT Drug Descriptors:
*plasminogen activator inhibitor: DT, drug therapy
*plasminogen activator inhibitor: PD, pharmacology
*plasminogen activator inhibitor: AN, drug analysis
*plasminogen activator inhibitor: PK, pharmacokinetics
*plasminogen activator inhibitor: CT, clinical trial
*plasminogen activator inhibitor: CM, drug comparison
*plasminogen activator inhibitor: AE, adverse drug reaction
*plasminogen activator inhibitor: DO, drug dose

*plasminogen activator inhibitor: CB, drug combination
*plasminogen activator inhibitor: PO, oral drug administration
*plasminogen activator inhibitor: IP, intraperitoneal drug administration
*plasminogen activator inhibitor: PR, pharmaceuticals
*plasminogen activator inhibitor: DV, drug development
antineoplastic agent: DT, drug therapy
antineoplastic agent: PD, pharmacology
antineoplastic agent: AN, drug analysis
antineoplastic agent: PK, pharmacokinetics
antineoplastic agent: CT, clinical trial
antineoplastic agent: CM, drug comparison
antineoplastic agent: AE, adverse drug reaction
antineoplastic agent: DO, drug dose
antineoplastic agent: CB, drug combination
antineoplastic agent: PO, oral drug administration
antineoplastic agent: IP, intraperitoneal drug administration
antineoplastic agent: PR, pharmaceuticals
antineoplastic agent: DV, drug development
urokinase: EC, endogenous compound
urokinase receptor: EC, endogenous compound
plasminogen activator inhibitor 1: EC, endogenous compound
plasmin: EC, endogenous compound
benzamidine derivative: DT, drug therapy
benzamidine derivative: PD, pharmacology
benzamidine derivative: AN, drug analysis
benzamidine derivative: CB, drug combination
benzamidine derivative: CT, clinical trial
benzamidine derivative: DO, drug dose
benzamidine derivative: AE, adverse drug reaction
benzamidine derivative: CM, drug comparison
benzamidine derivative: DV, drug development
naphthamidine derivative: DT, drug therapy
naphthamidine derivative: PD, pharmacology
naphthamidine derivative: AN, drug analysis
naphthamidine derivative: CB, drug combination
naphthamidine derivative: CT, clinical trial
naphthamidine derivative: DO, drug dose
naphthamidine derivative: AE, adverse drug reaction
naphthamidine derivative: PK, pharmacokinetics
naphthamidine derivative: PO, oral drug administration
naphthamidine derivative: CM, drug comparison
naphthamidine derivative: DV, drug development
wx uk 1: DT, drug therapy
wx uk 1: PD, pharmacology
wx uk 1: AN, drug analysis
wx uk 1: CB, drug combination
wx uk 1: CT, clinical trial
wx uk 1: DO, drug dose
wx uk 1: AE, adverse drug reaction
wx uk 1: CM, drug comparison
benzo[b]thiophene 2 carboxamidine derivative: DT, drug therapy
benzo[b]thiophene 2 carboxamidine derivative: PD, pharmacology
benzo[b]thiophene 2 carboxamidine derivative: AN, drug analysis
benzo[b]thiophene 2 carboxamidine derivative: IP, intraperitoneal drug administration
benzo[b]thiophene 2 carboxamidine derivative: CM, drug comparison
b 428: DT, drug therapy
b 428: PD, pharmacology
b 428: AN, drug analysis
b 428: IP, intraperitoneal drug administration

b 428: CM, drug comparison
b 623: DT, drug therapy
b 623: PD, pharmacology
b 623: AN, drug analysis
b 623: IP, intraperitoneal drug administration
5 amidinobenzimidazole derivative: DT, drug therapy
5 amidinobenzimidazole derivative: PD, pharmacology
5 amidinobenzimidazole derivative: AN, drug analysis
5 amidinobenzimidazole derivative: CM, drug comparison
5 amidinobenzimidazole derivative: DV, drug development
5 amidinoindole derivative: DT, drug therapy
5 amidinoindole derivative: PD, pharmacology
5 amidinoindole derivative: AN, drug analysis
5 amidinoindole derivative: CM, drug comparison
5 amidinoindole derivative: DV, drug development
4 aminobenzamidine derivative: DT, drug therapy
4 aminobenzamidine derivative: PD, pharmacology
4 aminobenzamidine derivative: AN, drug analysis
4 aminobenzamidine derivative: DV, drug development
2 amidino 5 thiomethyl thiophene: DT, drug therapy
2 amidino 5 thiomethyl thiophene: PD, pharmacology
2 amidino 5 thiomethyl thiophene: AN, drug analysis
2 amidino 5 thiomethyl thiophene: PK, pharmacokinetics
2 amidino 5 thiomethyl thiophene: PR, pharmaceutics
2 amidino 5 thiomethyl thiophene: DV, drug development
diuretic agent: PD, pharmacology
diuretic agent: AN, drug analysis
diuretic agent: CM, drug comparison
diuretic agent: DT, drug therapy
diuretic agent: DO, drug dose
diuretic agent: DV, drug development
amiloride: PD, pharmacology
amiloride: AN, drug analysis
amiloride: CM, drug comparison
amiloride: DT, drug therapy
amiloride: DO, drug dose
amiloride: DV, drug development
urea derivative: PD, pharmacology
urea derivative: AN, drug analysis
urea derivative: CM, drug comparison
urea derivative: DV, drug development
wx 293: PD, pharmacology
wx 293: AN, drug analysis
wx 293: CM, drug comparison
wx 293: DV, drug development
2 pyridinylguanidine derivative: PD, pharmacology
2 pyridinylguanidine derivative: AN, drug analysis
2 pyridinylguanidine derivative: DV, drug development
peptide derivative: PD, pharmacology
peptide derivative: AN, drug analysis
peptide derivative: PK, pharmacokinetics
peptide derivative: DV, drug development
thrombin inhibitor: DT, drug therapy
melagatran: DT, drug therapy
matrix metalloproteinase inhibitor: DT, drug therapy
matrix metalloproteinase inhibitor: PD, pharmacology
matrix metalloproteinase inhibitor: CM, drug comparison
proteinase inhibitor: DT, drug therapy
proteinase inhibitor: PD, pharmacology
proteinase inhibitor: CM, drug comparison

batimastat: DT, drug therapy
 batimastat: PD, pharmacology
 unclassified drug
 RN (plasminogen activator inhibitor) 105844-41-5; (urokinase) 139639-24-0;
 (plasminogen activator inhibitor 1) 140208-23-7; (plasmin) 9001-90-5,
 9004-09-5; (amiloride) 2016-88-8, 2609-46-3; (melagatran) 159776-70-2;
 (proteinase inhibitor) 37205-61-1; (batimastat) 130370-60-4, 130464-84-5
 CN (1) Wx uk 1; (2) Wx 293; (3) B 428; (4) B 623
 CO (2) Willex; (4) Eisai; Abbott; Axys; 3 Dimensional; Pfizer; Corvas; Astra
 Zeneca

 L42 ANSWER 8 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
 reserved on STN
 AN 2002148223 EMBASE
 TI Anti-metastatic drug well tolerated.
 SO Pharmaceutical Journal, (6 Apr 2002) Vol. 268, No. 7192, pp. 459. .
 ISSN: 0031-6873 CODEN: PHJOAV
 CY United Kingdom
 DT Journal; Note
 FS 016 Cancer
 030 Pharmacology
 037 Drug Literature Index
 LA English
 ED Entered STN: 8 May 2002
 Last Updated on STN: 8 May 2002
 CT Medical Descriptors:
 drug tolerability
 drug safety
 volunteer
 cancer combination chemotherapy
 breast cancer: DT, drug therapy
 ovary cancer: DT, drug therapy
 stomach cancer: DT, drug therapy
 human
 human experiment
 normal human
 controlled study
 note
 Drug Descriptors:
 *antimetastatic agent: CB, drug combination
 *antimetastatic agent: DV, drug development
 *antimetastatic agent: PD, pharmacology
 *wx uk1: CB, drug combination
 *wx uk1: DV, drug development
 *wx uk1: PD, pharmacology
 plasminogen activator inhibitor: CB, drug combination
 plasminogen activator inhibitor: DV, drug development
 plasminogen activator inhibitor: PD, pharmacology
 prourokinase: EC, endogenous compound
 serine proteinase inhibitor: CB, drug combination
 serine proteinase inhibitor: DV, drug development
 serine proteinase inhibitor: PD, pharmacology
 antineoplastic agent: CB, drug combination
 antineoplastic agent: DT, drug therapy
 unclassified drug
 RN (plasminogen activator inhibitor) 105844-41-5; (prourokinase) 82657-92-9
 CN (1) Wx uk1
 CO (1) Willex (Germany)

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AN 2002194397 EMBASE
TI IDdb News focus.
SO Current Drug Discovery, (2002) No. MAY, pp. 13-16. .
ISSN: 1472-7463 CODEN: CDDUAI
CY United Kingdom
DT Journal; Note
FS 004 Microbiology
008 Neurology and Neurosurgery
015 Chest Diseases, Thoracic Surgery and Tuberculosis
016 Cancer
031 Arthritis and Rheumatism
037 Drug Literature Index
LA English
ED Entered STN: 13 Jun 2002
Last Updated on STN: 13 Jun 2002
CT Medical Descriptors:
*cancer: DT, drug therapy
*stroke: DT, drug therapy
*virus infection: DT, drug therapy
drug indication
heart failure: DT, drug therapy
anxiety neurosis: DT, drug therapy
patent
non insulin dependent diabetes mellitus: DT, drug therapy
drug structure
nose congestion: DT, drug therapy
drug design
kidney cancer: DT, drug therapy
condyloma: DT, drug therapy
asthma: DT, drug therapy
allergic rhinitis: DT, drug therapy
osteoarthritis: DT, drug therapy
rheumatoid arthritis: DT, drug therapy
cataplexy: DT, drug therapy
narcolepsy: DT, drug therapy
drug approval
drug marketing
bladder disease: DT, drug therapy
thromboembolism: DT, drug therapy
thromboembolism: PC, prevention
anemia: DT, drug therapy
drug manufacture
Wart virus
papilloma: DT, drug therapy
nonhodgkin lymphoma: DT, drug therapy
alcoholism: DT, drug therapy
influenza: DT, drug therapy
influenza: PC, prevention
human
clinical trial
controlled study
note
Drug Descriptors:
vasopressin receptor antagonist: CT, clinical trial
vasopressin receptor antagonist: DT, drug therapy
rosiglitazone: DT, drug therapy
beta 3 adrenergic receptor stimulating agent: CT, clinical trial
beta 3 adrenergic receptor stimulating agent: DT, drug therapy
taxane derivative: CT, clinical trial

taxane derivative: DT, drug therapy
interleukin 2 receptor antibody: CT, clinical trial
interleukin 2 receptor antibody: DT, drug therapy
camptothecin derivative: CT, clinical trial
camptothecin derivative: DT, drug therapy
phosphotransferase inhibitor: CT, clinical trial
phosphotransferase inhibitor: DT, drug therapy
phosphotransferase inhibitor: PO, oral drug administration
histamine H1 receptor antagonist: CB, drug combination
histamine H1 receptor antagonist: DT, drug therapy
desloratadine: DT, drug therapy
histamine H3 receptor agonist: DT, drug therapy
loratadine: DT, drug therapy
alpha adrenergic receptor stimulating agent: CB, drug combination
alpha adrenergic receptor stimulating agent: DT, drug therapy
protein tyrosine kinase inhibitor: DV, drug development
protein tyrosine kinase inhibitor: DT, drug therapy
apoptosis inhibitor: DT, drug therapy
serine proteinase inhibitor: DV, drug development
serine proteinase inhibitor: DT, drug therapy
carboxylic acid derivative: DT, drug therapy
uridine derivative: CT, clinical trial
uridine derivative: DT, drug therapy
antisense oligonucleotide: CT, clinical trial
antisense oligonucleotide: DT, drug therapy
valdecoxib: DT, drug therapy
celecoxib: DT, drug therapy
oxybate sodium: DT, drug therapy
oxybutynin: CT, clinical trial
oxybutynin: DT, drug therapy
oxybutynin: TD, transdermal drug administration
fondaparinux: DT, drug therapy
recombinant erythropoietin: DV, drug development
recombinant erythropoietin: DT, drug therapy
virus vaccine: CT, clinical trial
virus vaccine: DV, drug development
virus vaccine: DT, drug therapy
DNA: CT, clinical trial
DNA: CB, drug combination
DNA: DT, drug therapy
rituximab: CT, clinical trial
rituximab: CB, drug combination
rituximab: DT, drug therapy
naltrexone derivative: CT, clinical trial
naltrexone derivative: DT, drug therapy
influenza vaccine: CT, clinical trial
influenza vaccine: DT, drug therapy
unindexed drug
sb 418790
gw 427353
idn 5109
bay 439006
chir 200131
wk 175
wx uk 1
krp 199
ori 1001
epi 2010
bucindolol
oxytrol

arixta
 ta hpv
 RN (rosiglitazone) 122320-73-4, 155141-29-0; (interleukin 2 receptor antibody) 179045-86-4; (desloratadine) 100643-71-8; (loratadine) 79794-75-5; (valdecoxib) 181695-72-7; (celecoxib) 169590-42-5; (oxybate sodium) 502-85-2; (oxybutynin) 1508-65-2, 5633-20-5; (fondaparin) 114870-03-0; (recombinant erythropoietin) 113427-24-0, 122312-54-3, 130455-76-4; (DNA) 9007-49-2; (rituximab) 174722-31-7; (idn 5109) 186348-05-0, 186348-23-2; (bucindolol) 71119-11-4
 CN (1) Avandia; (2) Sb 418790; (3) Gw 427353; (4) Idn 5109; (5) Bay 439006; (6) Clarinex; (7) Claritin; (8) Chir 200131; (9) Wk 175; (10) Wx uk 1; (11) Krp 199; (12) Ori 1001; (13) Epi 2010; (14) Bextra; (15) Bextra; (16) Celebrex; (17) Celebrex; (18) Xyrem; (19) Oxytrol; (20) Arixta; (21) Arixta; (22) Ta hpv; (23) Rituxan
 CO (3) Glaxo SmithKline; (5) Bayer; (7) Schering Plough; (8) Chiron; (10) Willex Biotechnology; (11) Kyorin; (12) OriGenix Technologies; (13) Epigenesis; (16) Pharmacia; (17) Pfizer; (18) Orphan; (19) Watson; (20) Sanofi Synthelabo; (21) Organon; (22) Xenova; (23) Dynavax
 L42 ANSWER 10 OF 10 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN
 AN 2001414153 EMBASE
 TI Cancer research 2001: Drug resistance, new targets and drug combinations.
 AU Broxterman H.J.; Georgopapadakou N.
 CS H.J. Broxterman, Department of Medical Oncology, BR 232, Vrije Universiteit Medical Center, P.O. Box 7057, 1007 MB Amsterdam, Netherlands. H.Broxterman@vumc.nl
 SO Drug Resistance Updates, (2001) Vol. 4, No. 3, pp. 197-209. .
 Refs: 82
 ISSN: 1368-7646 CODEN: DRUPFW
 CY United Kingdom
 DT Journal; General Review
 FS 016 Cancer
 037 Drug Literature Index
 038 Adverse Reactions Titles
 LA English
 SL English
 ED Entered STN: 20 Dec 2001
 Last Updated on STN: 20 Dec 2001
 AB The development of new anticancer drugs and the identification of novel targets represent major focus areas for pharmaceutical and biotech companies, universities and research institutes worldwide. The 92nd Annual Meeting of the American Association for Cancer Research (AACR) provided a glimpse of the latest developments in the cancer field. We highlight here presentations on resistance mechanisms (efflux, target modulation), new targets and drugs in development (topoisomerase, angiogenesis, cell cycle inhibitors) and new molecular technologies. The emergence of technologies for concurrently screening for expression of thousands of genes, has provided a new approach for the identification of molecular targets and mechanisms of both action and resistance of new compounds. The importance of inhibiting multiple targets simultaneously was brought up in several presentations. .COPYRG. 2001 Harcourt Publishers Ltd.
 CT Medical Descriptors:
 *cancer research
 *cancer: DR, drug resistance
 *cancer: DT, drug therapy
 *cancer combination chemotherapy
 drug targeting
 medical society

cell cycle
 angiogenesis
 technology
 gene expression
 cell transport
 neutropenia: SI, side effect
 human
 nonhuman
 clinical trial
 meta analysis
 review
 priority journal

CT Drug Descriptors:

*antineoplastic agent: AE, adverse drug reaction
 *antineoplastic agent: CT, clinical trial
 *antineoplastic agent: CB, drug combination
 *antineoplastic agent: CM, drug comparison
 *antineoplastic agent: DV, drug development
 *antineoplastic agent: DO, drug dose
 *antineoplastic agent: DT, drug therapy
 *antineoplastic agent: TO, drug toxicity
 *antineoplastic agent: PK, pharmacokinetics
 *antineoplastic agent: PD, pharmacology
 *antineoplastic agent: IV, intravenous drug administration
 *antineoplastic agent: PO, oral drug administration
 angiogenesis inhibitor: CM, drug comparison
 angiogenesis inhibitor: DV, drug development
 angiogenesis inhibitor: PD, pharmacology
 anginex: CM, drug comparison
 anginex: DV, drug development
 anginex: PD, pharmacology
 endostatin: CM, drug comparison
 endostatin: PD, pharmacology
 gfb 111: DV, drug development
 gfb 111: PD, pharmacology
 gfb 116: DV, drug development
 gfb 116: PD, pharmacology
 2 amino 4 (3 pyridyl) 4h naphtho[1,2 b]pyran 3 carbonitrile: DV, drug development
 2 amino 4 (3 pyridyl) 4h naphtho[1,2 b]pyran 3 carbonitrile: PD, pharmacology
 ly 290293: DV, drug development
 ly 290293: PD, pharmacology
 pyran derivative: DV, drug development
 pyran derivative: PD, pharmacology
 2 methoxyestradiol: DV, drug development
 2 methoxyestradiol: PD, pharmacology
 fb 642: DV, drug development
 fb 642: PD, pharmacology
 DNA topoisomerase inhibitor: CT, clinical trial
 DNA topoisomerase inhibitor: CM, drug comparison
 DNA topoisomerase inhibitor: DT, drug therapy
 DNA topoisomerase inhibitor: PK, pharmacokinetics
 DNA topoisomerase inhibitor: PD, pharmacology
 DNA topoisomerase inhibitor: IV, intravenous drug administration
 lurtotecan: CT, clinical trial
 lurtotecan: CM, drug comparison
 lurtotecan: DT, drug therapy
 lurtotecan: PK, pharmacokinetics
 lurtotecan: PD, pharmacology

lurtotecan: IV, intravenous drug administration
exatecan: CT, clinical trial
exatecan: CM, drug comparison
exatecan: DT, drug therapy
exatecan: PD, pharmacology
bms 250749: CT, clinical trial
bms 250749: CM, drug comparison
bms 250749: DT, drug therapy
bms 250749: PD, pharmacology
carbazole derivative: CT, clinical trial
carbazole derivative: CM, drug comparison
carbazole derivative: DT, drug therapy
carbazole derivative: PD, pharmacology
camptothecin: CM, drug comparison
camptothecin: DT, drug therapy
camptothecin: PD, pharmacology
irinotecan: CM, drug comparison
irinotecan: DT, drug therapy
irinotecan: PD, pharmacology
bms 251873: CM, drug comparison
bms 251873: DT, drug therapy
bms 251873: PD, pharmacology
f 11782: DV, drug development
f 11782: PD, pharmacology
ct 2103: AE, adverse drug reaction
ct 2103: CT, clinical trial
ct 2103: DO, drug dose
ct 2103: DT, drug therapy
ct 2103: PD, pharmacology
bn 80915: AD, drug administration
bn 80915: DT, drug therapy
bn 80915: PK, pharmacokinetics
bn 80915: IV, intravenous drug administration
bn 80915: PO, oral drug administration
camptothecin derivative: AD, drug administration
camptothecin derivative: DT, drug therapy
camptothecin derivative: PK, pharmacokinetics
camptothecin derivative: PD, pharmacology
camptothecin derivative: IV, intravenous drug administration
camptothecin derivative: PO, oral drug administration
j 107088: PD, pharmacology
bay 383441: AE, adverse drug reaction
bay 383441: CT, clinical trial
bay 383441: DO, drug dose
bay 383441: DT, drug therapy
bay 383441: PK, pharmacokinetics
bay 383441: PD, pharmacology
de 310: DV, drug development
de 310: TO, drug toxicity
de 310: PD, pharmacology
rubitecan: CM, drug comparison
rubitecan: PD, pharmacology
rubitecan: IV, intravenous drug administration
e 173: DV, drug development
e 173: TO, drug toxicity
e 173: PD, pharmacology
nucleoside analog: DV, drug development
nucleoside analog: TO, drug toxicity
nucleoside analog: PD, pharmacology
unindexed drug

unclassified drug

nx 211

x 469

wx uk 1

wx 293

wx 360

amp 404

clopidogrel

4 [2 [4 (3,10 dibromo 8 chloro 6,11 dihydro 5h benzo[5,6]cyclohepta[1,2 b]pyridin 11 yl) 1 piperidinyl] 2 oxoethyl] 1 piperidinecarboxamide

bms 214662

r 115777

l 778123

lb 42906

bay 439006

2 (2 chloro 4 iodoanilino) n cyclopropylmethoxy 3,4 difluorobenzamide

ci 1040

wf 536

zd 6474

ag 13764

af 13925

gw 2286

s 137

st 1646

SCH 221153

s 247

er 6820300

d 64131

txd 258

idn 5109

idn 5390

d 24851

NSC 330507

4 (4 cyclohexyl 2 methyl 5 oxazolyl) 2 fluorobenzenesulfonamide

ecteinasclidin 743

amminedichloro(2 methylpyridine)platinum

st 1481

2 [2 methyl 5 [4 (4 methyl 1 piperazinylmethyl)benzamido]anilino] 4 (3 pyridyl)pyrimidine

zd 1839

topotecan

war 196

mobiletrex

pemetrexed

raltitrexed

nu 6102

cgp 85715

RN (endostatin) 187888-07-9; (2 methoxyestradiol) 362-07-2; (lurtotecan) 149882-10-0, 155773-58-3; (exatecan) 197720-53-9; (camptothecin) 7689-03-4; (irinotecan) 100286-90-6; (rubitecan) 91421-42-0; (clopidogrel) 113665-84-2, 120202-66-6, 90055-48-4, 94188-84-8; (4 [2 [4 (3,10 dibromo 8 chloro 6,11 dihydro 5h benzo[5,6]cyclohepta[1,2 b]pyridin 11 yl) 1 piperidinyl] 2 oxoethyl] 1 piperidinecarboxamide) 193275-84-2; (2 (2 chloro 4 iodoanilino) n cyclopropylmethoxy 3,4 difluorobenzamide) 212631-79-3; (idn 5109) 186348-05-0; (4 (4 cyclohexyl 2 methyl 5 oxazolyl) 2 fluorobenzenesulfonamide) 180200-68-4; (ecteinasclidin 743) 114899-77-3; (amminedichloro(2 methylpyridine)platinum) 181630-15-9; (2 [2 methyl 5 [4 (4 methyl 1 piperazinylmethyl)benzamido]anilino] 4 (3 pyridyl)pyrimidine) 152459-95-5; (topotecan) 119413-54-6, 123948-87-8; (pemetrexed) 137281-23-3, 150399-23-8; (raltitrexed) 112887-68-0

CN (1) Ly 290181; (2) Ly 290293; (3) Nx 211; (4) Nx 211; (5) Dx 8951f; (6) Bms 250749; (7) F 11782; (8) Ct 2103; (9) Bn 80915; (10) J 107088; (11) Bay 383441; (12) De 310; (13) X 469; (14) Wx uk 1; (15) Wx 293; (16) Wx 360; (17) Amp 404; (18) Sr 25989; (19) Sch 66336; (20) Bms 214662; (21) R 115777; (22) L 778123; (23) Lb 42906; (24) Bay 439006; (25) Bay 439006; (26) Pd 184352; (27) Ci 1040; (28) Wf 536; (29) Zd 6474; (30) Ag 13764; (31) Af 13925; (32) Gw 2286; (33) S 137; (34) St 1646; (35) SCH 221153; (36) S 247; (37) Er 6820300; (38) D 64131; (39) Txd 258; (40) Idn 5109; (41) Idn 5390; (42) D 24851; (43) NSC 330507; (44) NSC 330507; (45) Jte 522; (46) Et 743; (47) Et 743; (48) Zd 0473; (49) St 1481; (50) Sti 571; (51) Zd 1839; Gfb 116; Gfb 111; Bms 251873; Camptosar; Hycamtin; E 173; War 196; Mobiletrex; Ly 231514; Zd 1694; Nu 6102; Cgp 85715

CO (2) Lilly; (3) Glaxo Wellcome; (4) Nexstar; (7) Fabre; (8) Cell Therapeutics; (9) Beaufour Ipsen; (10) Banyu; (12) Daiichi Seiyaku; (13) DuPont; (16) Willex biotechnology gmbh (Germany); (17) Amplimed; (18) Sanofi Synthelabo; (20) Bristol Myers Squibb; (21) Janssen; (22) Merck; (23) LG Chemical; (25) Onyx; (27) Pfizer; (28) Welfide; (31) Agouron; (32) Glaxo SmithKline; (35) Schering Plough; (36) Pharmacia; (37) Eisai; (39) Aventis; (40) Bayer; (41) Indena; (42) Asta; (43) University of Maryland (United Kingdom); (44) Institute of Cancer Research (United Kingdom); (45) Japan Tobacco; (46) Pharma Mar; (47) National Cancer Institute; (48) Astra Zeneca; (49) Sigma Tau; (50) Novartis; (51) Iressa; Fujisawa; Procter and Gamble; Wyeth Ayerst; Searle; Yamanouchi; Sugen; Entremed; Oxigene; Inkine

=> d his

(FILE 'HOME' ENTERED AT 07:07:03 ON 14 AUG 2006)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:07:27 ON 14 AUG 2006

L1 1 S US20050267127/PN OR (US2005-517518# OR WO2003-EP5918 OR DE200
E SPERL/AU
L2 24 S E38,E39
E WILEX/PA,CS
L3 38 S E3-E24
SEL RN L1

FILE 'REGISTRY' ENTERED AT 07:08:43 ON 14 AUG 2006

L4 22 S E1-E22
L5 STR
L6 3 S L5
L7 STR L5
L8 3 S L7
L9 76 S L7 FUL
SAV L9 KUMAR517/A
L10 9 S L4 AND L9
L11 67 S L9 NOT L10
L12 STR L7
L13 0 S L12 SAM SUB=L9
L14 28 S L12 FUL SUB=L9
SAV L14 KUMAR517A/A
L15 23 S L14 NOT C6-C6/ES
L16 9 S L4 AND L15
L17 48 S L9 NOT L14
L18 53 S L9 NOT L15

FILE 'HCAOLD' ENTERED AT 07:21:12 ON 14 AUG 2006

L19 0 S L15

FILE 'HCAPLUS' ENTERED AT 07:21:19 ON 14 AUG 2006

L20 15 S L15
L21 7 S L1-L3 AND L20
L22 10 S L20 AND (PY<=2003 OR PRY<=2003 OR AY<=2003)
L23 4 S L20 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)
L24 6 S L21 AND L22
L25 4 S L21 AND L23
L26 6 S L23-L25

FILE 'USPATFULL' ENTERED AT 07:23:41 ON 14 AUG 2006

L27 6 S L15
L28 3 S L15 AND SPERL ?/AU
L29 3 S L15 AND WILEX?/PA
L30 4 S L28,L29
L31 2 S L27 AND (PY<=2002 OR PRY<=2002 OR AY<=2002)
L32 6 S L27 AND (PY<=2003 OR PRY<=2003 OR AY<=2003)
L33 6 S L27-L32

FILE 'REGISTRY' ENTERED AT 07:24:38 ON 14 AUG 2006

FILE 'HCAPLUS' ENTERED AT 07:24:49 ON 14 AUG 2006

FILE 'USPATFULL' ENTERED AT 07:25:07 ON 14 AUG 2006

FILE 'REGISTRY' ENTERED AT 07:26:02 ON 14 AUG 2006

FILE 'HCAPLUS' ENTERED AT 07:26:34 ON 14 AUG 2006

L34 9 S WX UK1 OR WX UK 1
L35 0 S L34 NOT L20

FILE 'BIOSIS' ENTERED AT 07:26:58 ON 14 AUG 2006

L36 8 S L15 OR L34
SEL AN 1-4
L37 4 S L36 NOT E23-E26

FILE 'MEDLINE' ENTERED AT 07:27:59 ON 14 AUG 2006

L38 3 S L15 OR L34

FILE 'EMBASE' ENTERED AT 07:28:18 ON 14 AUG 2006

L39 20 S L15 OR L34
L40 7 S L39 AND PY<=2003

FILE 'MEDLINE' ENTERED AT 07:29:28 ON 14 AUG 2006

L41 1 S L38 AND PY<=2003

FILE 'BIOSIS, MEDLINE, EMBASE' ENTERED AT 07:29:51 ON 14 AUG 2006

L42 10 DUP REM L37 L41 L40 (2 DUPLICATES REMOVED)

FILE 'BIOSIS, MEDLINE, EMBASE' ENTERED AT 07:30:02 ON 14 AUG 2006

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